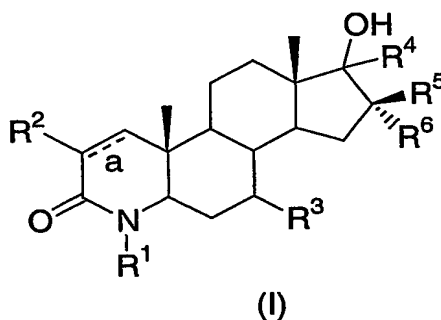


## WHAT IS CLAIMED IS:

1. A method for modulating a function mediated by the androgen receptor in a tissue selective manner in a subject in need thereof comprising  
 5 administering a therapeutically effective amount of a compound of structural formula I:



- or a pharmaceutically acceptable salt thereof; wherein  
 “a” represents a single bond or a double bond;  
 10 R<sup>1</sup> is hydrogen, hydroxymethyl, or C<sub>1-3</sub> alkyl, wherein alkyl is unsubstituted or substituted with one to seven fluorine atoms;  
 R<sup>2</sup> is hydrogen, fluorine, or C<sub>1-4</sub> alkyl when “a” represents a double bond; or two R<sup>2</sup> substituents are each independently hydrogen, fluorine, or C<sub>1-4</sub> alkyl when “a” represents a single bond;  
 15 R<sup>3</sup> is hydrogen or C<sub>1-3</sub> alkyl;  
 R<sup>4</sup> is hydrogen, C<sub>1-4</sub> alkyl, C<sub>2-4</sub> alkenyl, or C<sub>2-4</sub> alkynyl;  
 one of R<sup>5</sup> and R<sup>6</sup> is hydrogen or methyl and the other is selected from the group consisting of  
 (a) hydrogen,  
 20 (b) C<sub>1-8</sub> alkyl,  
 (c) C<sub>2-8</sub> alkenyl,  
 wherein alkyl and alkenyl are unsubstituted or substituted one to three groups independently selected from amino, cyano, halogen, hydroxy, oxo, carboxy, C<sub>1-4</sub> alkoxy, C<sub>1-4</sub> alkoxyC<sub>1-4</sub> alkoxy, and C<sub>1-3</sub> alkyloxycarbonyl;  
 25 (d) fluoro,  
 (e) cyano,  
 (f) hydroxy,

- (g) C<sub>1-6</sub> alkoxy,  
 (h) C<sub>1-6</sub> alkylcarbonyloxy,  
 (i) C<sub>1-6</sub> alkylthio,  
 (j) C<sub>1-6</sub> alkylsulfonyl,  
 5 (k) C<sub>3-8</sub> cycloalkyl C<sub>0-6</sub> alkyl,  
 (l) C<sub>3-8</sub> cycloheteroalkyl C<sub>0-6</sub> alkyl,  
 (m) aryl C<sub>0-6</sub> alkyl,  
 (n) aryl C<sub>2-4</sub> alkenyl,  
 (o) amino,  
 10 (p) C<sub>1-3</sub> acylamino,  
 (q) aryl C<sub>1-3</sub> acylamino,  
 (r) C<sub>1-6</sub> alkylamino,  
 (s) di(C<sub>1-6</sub> alkyl)amino,  
 (t) aryl C<sub>0-3</sub> alkylamino,  
 15 (u) di(aryl C<sub>0-3</sub> alkyl)amino,  
 (v) C<sub>3-6</sub> cycloalkyl C<sub>0-2</sub> alkylamino,  
 (w) C<sub>1-8</sub> alkylsulfonylamino,  
 (x) aryl C<sub>0-3</sub> alkylsulfonylamino,  
 (y) C<sub>1-8</sub> alkyloxycarbonylamino,  
 20 (z) aryl C<sub>0-3</sub> alkyloxycarbonylamino,  
 (aa) aminocarbonylamino,  
 (bb) C<sub>1-8</sub> alkylaminocarbonylamino,  
 (cc) aryl C<sub>0-3</sub> alkylaminocarbonylamino,  
 (dd) C<sub>1-8</sub> alkylaminocarbonyloxy, and  
 25 (ee) aryl C<sub>0-3</sub> alkylaminocarbonyloxy;

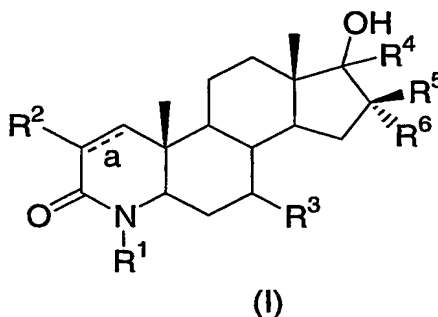
or R<sup>5</sup> and R<sup>6</sup> taken together with the carbon atom to which they are attached can form a C<sub>3-6</sub> spirocyclic ring system optionally containing a heteroatom selected from O, S, and NC<sub>0-4</sub> alkyl;

- or R<sup>5</sup> and R<sup>6</sup> taken together can be =CR<sup>9</sup>R<sup>10</sup>, wherein R<sup>9</sup> and R<sup>10</sup> are each  
 30 independently selected from the group consisting of hydrogen, C<sub>1-6</sub> alkyl, C<sub>3-6</sub>  
 cycloalkyl C<sub>0-3</sub> alkyl, C<sub>3-6</sub> cycloheteroalkyl C<sub>0-3</sub> alkyl, and aryl C<sub>0-3</sub> alkyl; or R<sup>9</sup>  
 and R<sup>10</sup> taken together with the carbon atom to which they are attached can form a 3-  
 to 6-membered ring optionally containing a heteroatom selected from O, S, NH,  
 NC<sub>1-4</sub> alkyl, and NC<sub>1-4</sub> alkyloxycarbonyl;

aryl C<sub>0-6</sub> alkoxy C<sub>0-6</sub>alkyl, hydroxycarbonyl C<sub>0-6</sub>alkyl, C<sub>1-6</sub> alkoxycarbonyl C<sub>0-6</sub>alkyl, aryl C<sub>0-6</sub> alkoxycarbonyl C<sub>0-6</sub>alkyl, hydroxycarbonyl C<sub>1-6</sub> alkyloxy, hydroxy C<sub>0-6</sub>alkyl, cyano, nitro, perfluoroC<sub>1-4</sub>alkyl, perfluoroC<sub>1-4</sub>alkoxy, oxo, C<sub>1-6</sub> alkylcarbonyloxy, aryl C<sub>0-6</sub>alkylcarbonyloxy, C<sub>1-6</sub> alkylcarbonylamino, aryl C<sub>0-6</sub> alkylcarbonylamino, C<sub>1-6</sub> alkylsulfonylamino, aryl C<sub>0-6</sub>alkylsulfonylamino, C<sub>1-6</sub> alkoxycarbonylamino, aryl C<sub>0-6</sub> alkoxycarbonylamino, C<sub>1-6</sub>alkylamino-carbonylamino, aryl C<sub>0-6</sub>alkylaminocarbonylamino, (C<sub>1-6</sub>alkyl)<sub>2</sub> aminocarbonylamino, (aryl C<sub>0-6</sub>alkyl)<sub>2</sub> aminocarbonylamino, (C<sub>1-6</sub>alkyl)<sub>2</sub> aminocarbonyloxy, and (aryl C<sub>0-6</sub>alkyl)<sub>2</sub> aminocarbonyloxy.

10

2. A method of activating the function of the androgen receptor comprising administering to a subject in need thereof a therapeutically effective amount of a compound of structural formula I:



- 15 or a pharmaceutically acceptable salt thereof; wherein  
 “a” represents a single bond or a double bond;  
 R<sup>1</sup> is hydrogen, hydroxymethyl, or C<sub>1-3</sub> alkyl, wherein alkyl is unsubstituted or substituted with one to seven fluorine atoms;  
 R<sup>2</sup> is hydrogen, fluorine, or C<sub>1-4</sub> alkyl when “a” represents a double bond; or two R<sup>2</sup>  
 20 substituents are each independently hydrogen, fluorine, or C<sub>1-4</sub> alkyl when “a”  
 represents a single bond;  
 R<sup>3</sup> is hydrogen or C<sub>1-3</sub> alkyl;  
 R<sup>4</sup> is hydrogen, C<sub>1-4</sub> alkyl, C<sub>2-4</sub> alkenyl, or C<sub>2-4</sub> alkynyl;  
 one of R<sup>5</sup> and R<sup>6</sup> is hydrogen or methyl and the other is selected from the group  
 25 consisting of  
     (a) hydrogen,  
     (b) C<sub>1-8</sub> alkyl,

wherein the aryl group above is selected from the group consisting of

- (1) phenyl,
- (2) naphthyl,
- (3) benzimidazolyl,
- 5 (4) benzofuranyl,
- (5) benzothiophenyl,
- (6) benzoxazolyl,
- (7) benzothiazolyl,
- (14) benzodihydrofuranyl,
- 10 (15) 1,3-benzodioxolyl,
- (10) indolyl,
- (11) quinolyl,
- (12) isoquinolyl,
- (13) furanyl,
- 15 (14) thienyl,
- (15) imidazolyl,
- (16) oxazolyl,
- (17) thiazolyl,
- (18) isoxazolyl,
- 20 (19) isothiazolyl,
- (20) pyrazolyl,
- (21) pyrrolyl,
- (22) pyridyl,
- (23) pyrimidyl,
- 25 (24) pyrazinyl,
- (25) thiadiazolyl,
- (26) oxadiazolyl,
- (27) triazolyl, and
- (28) tetrazolyl;
- 30 wherein the aryl group as defined in items (1) to (28) is unsubstituted or substituted with one to three groups independently selected from halogen, aryl, C<sub>1-8</sub> alkyl, C<sub>3-8</sub> cycloalkyl, C<sub>3-8</sub> cycloheteroalkyl, aryl C<sub>1-6</sub>alkyl, amino C<sub>0-6</sub>alkyl, C<sub>1-6</sub> alkylamino C<sub>0-6</sub>alkyl, (C<sub>1-6</sub> alkyl)<sub>2</sub>amino C<sub>0-6</sub>alkyl, aryl C<sub>0-6</sub> alkylamino C<sub>0-6</sub>alkyl, (aryl C<sub>0-6</sub> alkyl)<sub>2</sub>amino C<sub>0-6</sub>alkyl, C<sub>1-6</sub> alkylthio, aryl C<sub>0-6</sub>alkylthio, C<sub>1-6</sub> alkylsulfinyl, aryl
- 35 C<sub>0-6</sub>alkylsulfinyl, C<sub>1-6</sub> alkylsulfonyl, aryl C<sub>0-6</sub>alkylsulfonyl, C<sub>1-6</sub> alkoxy C<sub>0-6</sub>alkyl,

(c) C<sub>2-8</sub> alkenyl,

wherein alkyl and alkenyl are unsubstituted or substituted one to three groups independently selected from amino, cyano, halogen, hydroxy, oxo, carboxy, C<sub>1-4</sub> alkoxy, C<sub>1-4</sub> alkoxyC<sub>1-4</sub> alkoxy, and C<sub>1-3</sub> alkyloxycarbonyl;

- 5 (d) fluoro,
- (e) cyano,
- (f) hydroxy,
- (g) C<sub>1-6</sub> alkoxy,
- (h) C<sub>1-6</sub> alkylcarbonyloxy,
- 10 (i) C<sub>1-6</sub> alkylthio,
- (j) C<sub>1-6</sub> alkylsulfonyl,
- (k) C<sub>3-8</sub> cycloalkyl C<sub>0-6</sub> alkyl,
- (l) C<sub>3-8</sub> cycloheteroalkyl C<sub>0-6</sub> alkyl,
- (m) aryl C<sub>0-6</sub> alkyl,
- 15 (n) aryl C<sub>2-4</sub> alkenyl,
- (o) amino,
- (p) C<sub>1-3</sub> acylamino,
- (q) aryl C<sub>1-3</sub> acylamino,
- (r) C<sub>1-6</sub> alkylamino,
- 20 (s) di(C<sub>1-6</sub> alkyl)amino,
- (t) aryl C<sub>0-3</sub> alkylamino,
- (u) di(aryl C<sub>0-3</sub> alkyl)amino,
- (v) C<sub>3-6</sub> cycloalkyl C<sub>0-2</sub> alkylamino,
- (w) C<sub>1-8</sub> alkylsulfonylamino,
- 25 (x) aryl C<sub>0-3</sub> alkylsulfonylamino,
- (y) C<sub>1-8</sub> alkyloxycarbonylamino,
- (z) aryl C<sub>0-3</sub> alkyloxycarbonylamino,
- (aa) aminocarbonylamino,
- (bb) C<sub>1-8</sub> alkylaminocarbonylamino,
- 30 (cc) aryl C<sub>0-3</sub> alkylaminocarbonylamino,
- (dd) C<sub>1-8</sub> alkylaminocarbonyloxy, and
- (ee) aryl C<sub>0-3</sub> alkylaminocarbonyloxy;

or R<sup>5</sup> and R<sup>6</sup> taken together with the carbon atom to which they are attached

can form a C<sub>3-6</sub> spirocyclic ring system optionally containing a heteroatom selected from O, S, and NC<sub>0-4</sub> alkyl;

or R<sup>5</sup> and R<sup>6</sup> taken together can be =CR<sup>9</sup>R<sup>10</sup>, wherein R<sup>9</sup> and R<sup>10</sup> are each independently selected from the group consisting of hydrogen, C<sub>1-6</sub> alkyl, C<sub>3-6</sub>

- 5 cycloalkyl C<sub>0-3</sub> alkyl, C<sub>3-6</sub> cycloheteroalkyl C<sub>0-3</sub> alkyl, and aryl C<sub>0-3</sub> alkyl; or R<sup>9</sup> and R<sup>10</sup> taken together with the carbon atom to which they are attached can form a 3- to 6-membered ring optionally containing a heteroatom selected from O, S, NH, NC<sub>1-4</sub> alkyl, and NC<sub>1-4</sub> alkyloxycarbonyl;

wherein the aryl group above is selected from the group consisting of

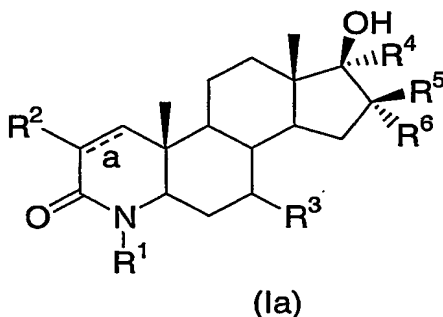
- 10 (1) phenyl,  
(2) naphthyl,  
(3) benzimidazolyl,  
(4) benzofuranyl,  
(5) benzothiophenyl,  
15 (6) benzoxazolyl,  
(7) benzothiazolyl,  
(16) benzodihydrofuranyl,  
(17) 1,3-benzodioxolyl,  
(10) indolyl,  
20 (11) quinolyl,  
(12) isoquinolyl,  
(13) furanyl,  
(14) thienyl,  
(15) imidazolyl,  
25 (16) oxazolyl,  
(17) thiazolyl,  
(18) isoxazolyl,  
(19) isothiazolyl,  
(20) pyrazolyl,  
30 (21) pyrrolyl,  
(22) pyridyl,  
(23) pyrimidyl,  
(24) pyrazinyl,  
(25) thiadiazolyl,

- (26) oxadiazolyl,  
 (27) triazolyl, and  
 (28) tetrazolyl;

wherein the aryl group as defined in items (1) to (28) is unsubstituted or substituted with one to three groups independently selected from halogen, aryl, C<sub>1-8</sub> alkyl, C<sub>3-8</sub> cycloalkyl, C<sub>3-8</sub> cycloheteroalkyl, aryl C<sub>1-6</sub> alkyl, amino C<sub>0-6</sub> alkyl, C<sub>1-6</sub> alkylamino C<sub>0-6</sub> alkyl, (C<sub>1-6</sub> alkyl)<sub>2</sub>amino C<sub>0-6</sub> alkyl, aryl C<sub>0-6</sub> alkylamino C<sub>0-6</sub> alkyl, (aryl C<sub>0-6</sub> alkyl)<sub>2</sub>amino C<sub>0-6</sub> alkyl, C<sub>1-6</sub> alkylthio, aryl C<sub>0-6</sub> alkylthio, C<sub>1-6</sub> alkylsulfinyl, aryl C<sub>0-6</sub> alkylsulfinyl, C<sub>1-6</sub> alkylsulfonyl, aryl C<sub>0-6</sub> alkylsulfonyl, C<sub>1-6</sub> alkoxy C<sub>0-6</sub> alkyl, aryl C<sub>0-6</sub> alkoxy C<sub>0-6</sub> alkyl, hydroxycarbonyl C<sub>0-6</sub> alkyl, C<sub>1-6</sub> alkoxycarbonyl C<sub>0-6</sub> alkyl, aryl C<sub>0-6</sub> alkoxycarbonyl C<sub>0-6</sub> alkyl, hydroxycarbonyl C<sub>1-6</sub> alkyloxy, hydroxy C<sub>0-6</sub> alkyl, cyano, nitro, perfluoroC<sub>1-4</sub> alkyl, perfluoroC<sub>1-4</sub> alkoxy, oxo, C<sub>1-6</sub> alkylcarbonyloxy, aryl C<sub>0-6</sub> alkylcarbonyloxy, C<sub>1-6</sub> alkylcarbonylamino, aryl C<sub>0-6</sub> alkylcarbonylamino, C<sub>1-6</sub> alkylsulfonylamino, aryl C<sub>0-6</sub> alkylsulfonylamino, C<sub>1-6</sub> alkoxycarbonylamino, aryl C<sub>0-6</sub> alkoxycarbonylamino, C<sub>1-6</sub> alkylamino-carbonylamino, aryl C<sub>0-6</sub> alkylaminocarbonylamino, (C<sub>1-6</sub> alkyl)<sub>2</sub> aminocarbonylamino, (aryl C<sub>0-6</sub> alkyl)<sub>2</sub> aminocarbonylamino, (C<sub>1-6</sub> alkyl)<sub>2</sub> aminocarbonyloxy, and (aryl C<sub>0-6</sub> alkyl)<sub>2</sub> aminocarbonyloxy.

3. The method of Claim 1 wherein said function mediated by the androgen receptor function is activated in bone and/or muscle tissue and blocked in the prostate of a male subject or in the uterus of a female subject.

4. The method of Claim 3 wherein the compound is of structural formula Ia



5. The method of Claim 3 wherein R<sup>1</sup> is hydrogen or methyl and R<sup>3</sup> and R<sup>4</sup> are both hydrogen.

6. The method of Claim 5 wherein "a" represents a double bond.

5

7. The method of Claim 3 wherein one of R<sup>5</sup> and R<sup>6</sup> is hydrogen or methyl and the other is selected from the group consisting of

(a) hydrogen,

(b) fluorine,

10 (c) C<sub>1-3</sub> alkyl, wherein alkyl is unsubstituted or substituted one to three groups independently selected from amino, cyano, halogen, hydroxy, oxo, carboxy, C<sub>1-4</sub> alkoxy, C<sub>1-3</sub> alkoxy-C<sub>1-3</sub> alkoxy, and C<sub>1-3</sub> alkyloxycarbonyl; and

(d) arylmethyl, wherein aryl is selected from the group consisting of phenyl, naphthyl, pyridyl, furanyl, pyrrolyl, thiazolyl, imidazolyl, benzofuranyl, and 1,3-benzodioxolyl, wherein the aryl group is unsubstituted or substituted with one to two groups independently selected from halogen, C<sub>1-4</sub> alkyl, C<sub>1-4</sub> alkoxy, cyano, trifluoromethyl, and trifluoromethoxy; or R<sup>5</sup> and R<sup>6</sup> taken together with the carbon atom to which they are attached can form a C<sub>3-6</sub> spirocyclic ring system optionally containing a heteroatom selected from O, S, NH, NC<sub>1-4</sub> alkyl, and N<sub>1-4</sub> alkyloxycarbonyl; or R<sup>5</sup> and R<sup>6</sup> taken together can be =CR<sup>9</sup>R<sup>10</sup>, wherein R<sup>9</sup> and R<sup>10</sup> are each independently selected from the group consisting of hydrogen, C<sub>1-6</sub> alkyl, C<sub>3-6</sub> cycloalkyl-C<sub>0-3</sub> alkyl, C<sub>3-6</sub> cycloheteroalkyl-C<sub>0-3</sub> alkyl, aryl C<sub>0-1</sub> alkyl; or R<sup>9</sup> and R<sup>10</sup> taken together with the carbon atom to which they are attached can form a 3- to 6-membered ring optionally containing a heteroatom selected from O, S, NH, NC<sub>1-4</sub> alkyl, and NC<sub>1-4</sub> alkyloxycarbonyl.

25

8. The method of Claim 7 wherein one of R<sup>5</sup> and R<sup>6</sup> is hydrogen and the other is arylmethyl wherein aryl is as defined in Claim 7.

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9. The method of Claim 8 wherein R<sup>1</sup> is hydrogen or methyl and R<sup>3</sup> and R<sup>4</sup> are both hydrogen.

10. The method of Claim 9 wherein "a" represents a double bond.



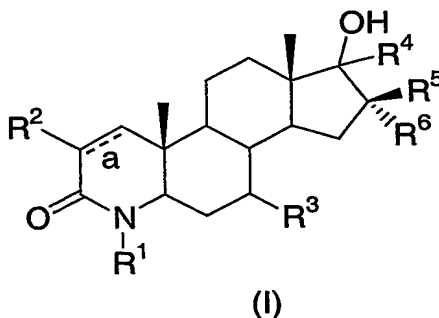
11. The method of Claim 7 wherein R<sup>5</sup> and R<sup>6</sup> taken together are =CHaryl wherein aryl is as defined in Claim 7.
- 5 12. The method of Claim 11 wherein R<sup>1</sup> is hydrogen or methyl and R<sup>3</sup> and R<sup>4</sup> are both hydrogen.
13. The method of Claim 12 wherein "a" represents a double bond.
- 10 14. The method of Claim 3 wherein the compound is selected from the group consisting of:
- 17β-hydroxy-7β-methyl-4-aza-5α-androst-1-en-3-one;  
17α-hydroxy-7β-methyl-4-aza-5α-androst-1-en-3-one;  
17β-hydroxy-4,16α-dimethyl-4-aza-5α-androst-1-en-3-one;  
15 17β-hydroxy-4,16β-dimethyl-4-aza-5α-androst-1-en-3-one;  
16α-fluoro-17β-hydroxy-4-methyl-4-aza-5α-androst-1-en-3-one;  
16β-fluoro-17α-hydroxy-4-methyl-4-aza-5α-androst-1-en-3-one;  
16α-fluoro-17β-hydroxy-4,17α-dimethyl-4-aza-5α-androst-1-en-3-one;  
16β-fluoro-17β-hydroxy-4-methyl-4-aza-5α-androst-1-en-3-one;  
20 2α-fluoro-17β-hydroxy-4-methyl-4-aza-5α-androstan-3-one;  
2β-fluoro-17β-hydroxy-4-methyl-4-aza-5α-androstan-3-one;  
2,2-difluoro-17β-hydroxy-4-methyl-4-aza-5α-androstan-3-one;  
17β-hydroxy-2α,4-dimethyl-4-aza-5α-androstan-3-one;  
17β-hydroxy-16α-(methoxymethyl)-4-methyl-4-aza-5α-androst-1-en-3-one;  
25 17β-hydroxy-16α-(ethoxymethyl)-4-methyl-4-aza-5α-androst-1-en-3-one;  
17β-hydroxy-16α-(2-methoxyethoxymethyl)-4-methyl-4-aza-5α-androst-1-en-3-one;  
17β-hydroxy-16α-(ethyloxycarbonylmethyl)-4-methyl-4-aza-5α-androst-1-en-3-one;  
17β-hydroxy-16α-(carboxymethyl)-4-methyl-4-aza-5α-androst-1-en-3-one;  
17β-hydroxy-16α-(2-hydroxyethyl)-4-methyl-4-aza-5α-androst-1-en-3-one;  
30 17β-hydroxy-16α-allyl-4-methyl-4-aza-5α-androst-1-en-3-one;  
17β-hydroxy-4,16α,17α-trimethyl-4-aza-5α-androst-1-en-3-one;  
17β-hydroxy-4-methyl-4-aza-5α-androstan-3-one;  
17β-hydroxy-4,17α-dimethyl-4-aza-5α-androstan-3-one;  
17β-hydroxy-17α-ethyl-4-methyl-4-aza-5α-androstan-3-one;  
35 17β-hydroxy-4,17α-dimethyl-4-aza-5α-androst-1-en-3-one;

- 17 $\beta$ -hydroxy-16 $\alpha$ -(2-trifluoromethylbenzyl)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16 $\alpha$ -(3-trifluoromethylbenzyl)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16 $\alpha$ -(4-trifluoromethylbenzyl)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16 $\alpha$ -(2-fluorobenzyl)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
5 17 $\beta$ -hydroxy-16 $\alpha$ -(3-fluorobenzyl)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16 $\alpha$ -(4-fluorobenzyl)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16 $\alpha$ -(3-chlorobenzyl)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16 $\alpha$ -(4-chlorobenzyl)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16 $\alpha$ -(4-trifluoromethoxybenzyl)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
10 17 $\beta$ -hydroxy-16 $\alpha$ -(3-methoxybenzyl)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16 $\alpha$ -benzyl-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16 $\alpha$ -(2-methylbenzyl)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16 $\alpha$ -(2-naphthyl)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16 $\beta$ -(2-methylbenzyl)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
15 17 $\beta$ -hydroxy-16 $\beta$ -(3-methylbenzyl)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16 $\beta$ -(4-chlorobenzyl)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16-(2-chlorobenzylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16-(3-fluorobenzylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16-(3-trifluoromethylbenzylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-  
20 one;  
17 $\beta$ -hydroxy-16-(2-trifluoromethylbenzylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-  
one;  
17 $\beta$ -hydroxy-16-(3-methoxybenzylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16-(3,4-methylenedioxybenzylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-  
25 one;  
17 $\beta$ -hydroxy-16-(5-methoxy-3,4-methylenedioxybenzylidene)-4-methyl-4-aza-5 $\alpha$ -  
androst-1-en-3-one;  
17 $\beta$ -hydroxy-16-(3,5-difluorobenzylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16-(3,5-dichlorobenzylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
30 17 $\beta$ -hydroxy-16-(3,4-dimethoxybenzylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16-(pyridin-2-ylmethylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16-(pyridin-4-ylmethylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16-(cyclopropylmethylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16-(furan-2-ylmethylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;

- 17 $\beta$ -hydroxy-16-(1-methyl-imidazol-2-ylmethylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;
- 17 $\beta$ -hydroxy-16-cyclohexylidene-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;
- 17 $\beta$ -hydroxy-16-ethylidene-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;
- 5 17 $\beta$ -hydroxy-16-isopropylidene-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;
- 17 $\beta$ -hydroxy-16-isobutylidene-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;
- 17 $\beta$ -hydroxy-16-(piperidin-4-ylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;
- 17 $\beta$ -hydroxy-16-(N-t-butyloxycarbonylpiperidin-4-ylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;
- 10 17 $\beta$ -hydroxy-16-(1,3-thiazol-2-ylmethylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;
- 17 $\beta$ -hydroxy-16-(benzofuran-2-ylmethylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;
- 17 $\beta$ -hydroxy-16-(5-hydroxymethyl-furan-2-ylmethylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;
- 15 17 $\beta$ -hydroxy-16-(1-methyl-pyrrol-2-ylmethylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;
- 17 $\beta$ -hydroxy-16-(pyrrol-2-ylmethylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;
- 17 $\beta$ -hydroxy-16-(2-ethoxy-pyrimidin-5-ylmethylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;
- 20 17 $\beta$ -hydroxy-16-(pyrimidin-5-ylmethylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;
- 17 $\beta$ -hydroxy-16-(2-methyl-pyrimidin-5-ylmethylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;
- 17 $\beta$ -hydroxy-16-(3-trifluoromethoxybenzylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;
- 25 17 $\beta$ -hydroxy-16-(4-trifluoromethoxybenzylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;
- 17 $\beta$ -hydroxy-16-(4-dimethylaminobenzylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;
- 30 17 $\beta$ -hydroxy-16-(9-methoxy-quinolin-2-ylmethylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;
- 17 $\beta$ -hydroxy-16-(quinoxalin-6-ylmethylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;
- 17 $\beta$ -hydroxy-16-(quinoxalin-7-ylmethylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;
- 17 $\beta$ -hydroxy-16-(2-hydroxybenzylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;
- 35 17 $\beta$ -hydroxy-16-(3-hydroxybenzylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;

- 17 $\beta$ -hydroxy-16-(4-hydroxybenzylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
 17 $\beta$ -hydroxy-16-(pyridin-3-ylmethylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
 17 $\beta$ -hydroxy-16-(N-methylpiperidin-4-ylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
 5 17 $\beta$ -hydroxy-16-(2-chloro-4-dimethylaminobenzylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
 17 $\beta$ -hydroxy-16-(2-amino-pyridin-3-ylmethylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
 17 $\beta$ -hydroxy-16-(3-carboxymethyl-benzylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
 10 one;  
 17 $\beta$ -hydroxy-16-(3-carboxybenzylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
 17 $\beta$ -hydroxy-16-(3-nitrobenzylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
 17 $\beta$ -hydroxy-16-(4-nitrobenzylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one; and  
 17 $\beta$ -hydroxy-16-(benzylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
 15 or a pharmaceutically acceptable salt thereof.

15. A method of preventing or treating a condition in a male subject which is caused by androgen deficiency or which can be ameliorated by androgen replacement which condition is selected from the group consisting of  
 20 osteoporosis, osteopenia, glucocorticoid-induced osteoporosis, periodontal disease, HIV-wasting, cancer cachexia, obesity, aplastic and other anemias, and muscular dystrophies, comprising administering to the male subject in need of such prevention or treatment a prophylactically or therapeutically effective amount of a compound of formula I:



or a pharmaceutically acceptable salt thereof; wherein  
 “a” represents a single bond or a double bond;

R<sup>1</sup> is hydrogen, hydroxymethyl, or C<sub>1-3</sub> alkyl, wherein alkyl is unsubstituted or substituted with one to seven fluorine atoms;

R<sup>2</sup> is hydrogen, fluorine, or C<sub>1-4</sub> alkyl when "a" represents a double bond; or two R<sup>2</sup> substituents are each independently hydrogen, fluorine, or C<sub>1-4</sub> alkyl when "a"

5 represents a single bond;

R<sup>3</sup> is hydrogen or C<sub>1-3</sub> alkyl;

R<sup>4</sup> is hydrogen, C<sub>1-4</sub> alkyl, C<sub>2-4</sub> alkenyl, or C<sub>2-4</sub> alkynyl;

one of R<sup>5</sup> and R<sup>6</sup> is hydrogen or methyl and the other is selected from the group consisting of

- 10 (a) hydrogen,
- (b) C<sub>1-8</sub> alkyl,
- (c) C<sub>2-8</sub> alkenyl,

wherein alkyl and alkenyl are unsubstituted or substituted one to three groups independently selected from amino, cyano, halogen, hydroxy, oxo, carboxy,

15 C<sub>1-4</sub> alkoxy, C<sub>1-4</sub> alkoxyC<sub>1-4</sub> alkoxy, and C<sub>1-3</sub> alkyloxycarbonyl;

- (d) fluoro,
- (e) cyano,
- (f) hydroxy,
- (g) C<sub>1-6</sub> alkoxy,
- 20 (h) C<sub>1-6</sub> alkylcarbonyloxy,
- (i) C<sub>1-6</sub> alkylthio,
- (j) C<sub>1-6</sub> alkylsulfonyl,
- (k) C<sub>3-8</sub> cycloalkyl C<sub>0-6</sub> alkyl,
- (l) C<sub>3-8</sub> cycloheteroalkyl C<sub>0-6</sub> alkyl,
- 25 (m) aryl C<sub>0-6</sub> alkyl,
- (n) aryl C<sub>2-4</sub> alkenyl,
- (o) amino,
- (p) C<sub>1-3</sub> acylamino,
- (q) aryl C<sub>1-3</sub> acylamino,
- 30 (r) C<sub>1-6</sub> alkylamino,
- (s) di(C<sub>1-6</sub> alkyl)amino,
- (t) aryl C<sub>0-3</sub> alkylamino,
- (u) di(aryl C<sub>0-3</sub> alkyl)amino,
- (v) C<sub>3-6</sub> cycloalkyl C<sub>0-2</sub> alkylamino,

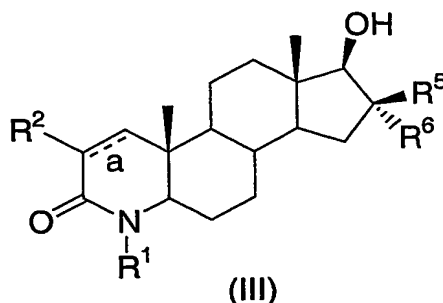
- (w) C<sub>1-8</sub> alkylsulfonylamino,
- (x) aryl C<sub>0-3</sub> alkylsulfonylamino,
- (y) C<sub>1-8</sub> alkyloxycarbonylamino,
- (z) aryl C<sub>0-3</sub> alkyloxycarbonylamino,
- 5 (aa) aminocarbonylamino,
- (bb) C<sub>1-8</sub> alkylaminocarbonylamino,
- (cc) aryl C<sub>0-3</sub> alkylaminocarbonylamino,
- (dd) C<sub>1-8</sub> alkylaminocarbonyloxy, and
- (ee) aryl C<sub>0-3</sub> alkylaminocarbonyloxy;
- 10 or R<sup>5</sup> and R<sup>6</sup> taken together with the carbon atom to which they are attached can form a C<sub>3-6</sub> spirocyclic ring system optionally containing a heteroatom selected from O, S, and NC<sub>0-4</sub> alkyl;
- or R<sup>5</sup> and R<sup>6</sup> taken together can be =CR<sup>9</sup>R<sup>10</sup>, wherein R<sup>9</sup> and R<sup>10</sup> are each independently selected from the group consisting of hydrogen, C<sub>1-6</sub> alkyl, C<sub>3-6</sub> cycloalkyl C<sub>0-3</sub> alkyl, C<sub>3-6</sub> cycloheteroalkyl C<sub>0-3</sub> alkyl, and aryl C<sub>0-3</sub> alkyl; or R<sup>9</sup>
- 15 and R<sup>10</sup> taken together with the carbon atom to which they are attached can form a 3- to 6-membered ring optionally containing a heteroatom selected from O, S, NH, NC<sub>1-4</sub> alkyl, and NC<sub>1-4</sub> alkyloxycarbonyl;
- wherein the aryl group above is selected from the group consisting of
- 20 (1) phenyl,
- (2) naphthyl,
- (3) benzimidazolyl,
- (4) benzofuranyl,
- (5) benzothiophenyl,
- 25 (6) benzoxazolyl,
- (7) benzothiazolyl,
- (18) benzodihydrofuranyl,
- (19) 1,3-benzodioxolyl,
- (10) indolyl,
- 30 (11) quinolyl,
- (12) isoquinolyl,
- (13) furanyl,
- (14) thienyl,
- (15) imidazolyl,

- (16) oxazolyl,  
 (17) thiazolyl,  
 (18) isoxazolyl,  
 (19) isothiazolyl,  
 5 (20) pyrazolyl,  
 (21) pyrrolyl,  
 (22) pyridyl,  
 (23) pyrimidyl,  
 (24) pyrazinyl,  
 10 (25) thiadiazolyl,  
 (26) oxadiazolyl,  
 (27) triazolyl, and  
 (28) tetrazolyl;

wherein the aryl group as defined in items (1) to (28) is unsubstituted or substituted  
 15 with one to three groups independently selected from halogen, aryl, C<sub>1-8</sub> alkyl, C<sub>3-8</sub>  
 cycloalkyl, C<sub>3-8</sub> cycloheteroalkyl, aryl C<sub>1-6</sub>alkyl, amino C<sub>0-6</sub>alkyl, C<sub>1-6</sub> alkylamino  
 C<sub>0-6</sub>alkyl, (C<sub>1-6</sub> alkyl)<sub>2</sub>amino C<sub>0-6</sub>alkyl, aryl C<sub>0-6</sub> alkylamino C<sub>0-6</sub>alkyl, (aryl C<sub>0-6</sub>  
 alkyl)<sub>2</sub>amino C<sub>0-6</sub>alkyl, C<sub>1-6</sub> alkylthio, aryl C<sub>0-6</sub>alkylthio, C<sub>1-6</sub> alkylsulfinyl, aryl  
 20 C<sub>0-6</sub>alkylsulfinyl, C<sub>1-6</sub> alkylsulfonyl, aryl C<sub>0-6</sub>alkylsulfonyl, C<sub>1-6</sub> alkoxy C<sub>0-6</sub>alkyl,  
 aryl C<sub>0-6</sub> alkoxy C<sub>0-6</sub>alkyl, hydroxycarbonyl C<sub>0-6</sub>alkyl, C<sub>1-6</sub> alkoxycarbonyl C<sub>0-6</sub>  
 6alkyl, aryl C<sub>0-6</sub> alkoxycarbonyl C<sub>0-6</sub>alkyl, hydroxycarbonyl C<sub>1-6</sub> alkyloxy, hydroxy  
 C<sub>0-6</sub>alkyl, cyano, nitro, perfluoroC<sub>1-4</sub>alkyl, perfluoroC<sub>1-4</sub>alkoxy, oxo, C<sub>1-6</sub>  
 alkylcarbonyloxy, aryl C<sub>0-6</sub>alkylcarbonyloxy, C<sub>1-6</sub> alkylcarbonylamino, aryl C<sub>0-6</sub>  
 alkylcarbonylamino, C<sub>1-6</sub> alkylsulfonylamino, aryl C<sub>0-6</sub>alkylsulfonylamino, C<sub>1-6</sub>  
 25 alkoxycarbonylamino, aryl C<sub>0-6</sub> alkoxycarbonylamino, C<sub>1-6</sub>alkylamino-  
 carbonylamino, aryl C<sub>0-6</sub>alkylaminocarbonylamino, (C<sub>1-6</sub>alkyl)<sub>2</sub>  
 aminocarbonylamino, (aryl C<sub>0-6</sub>alkyl)<sub>2</sub> aminocarbonylamino, (C<sub>1-6</sub>alkyl)<sub>2</sub>  
 aminocarbonyloxy, and (aryl C<sub>0-6</sub>alkyl)<sub>2</sub> aminocarbonyloxy.

30                    16.     The method of Claim 15 wherein said condition is  
 osteoporosis.

17.     The method of Claim 15 wherein the compound is of structural  
 formula III:



or a pharmaceutically acceptable salt thereof; wherein

“a” represents a single bond or a double bond;

R<sup>1</sup> is hydrogen, hydroxymethyl, or C<sub>1-3</sub> alkyl, wherein alkyl is unsubstituted or

5 substituted with one to seven fluorine atoms;

R<sup>2</sup> is hydrogen, fluorine, or C<sub>1-4</sub> alkyl when “a” represents a double bond; or two R<sup>2</sup> substituents are each independently hydrogen, fluorine, or C<sub>1-4</sub> alkyl when “a” represents a single bond;

one of R<sup>5</sup> and R<sup>6</sup> is hydrogen or methyl and the other is selected from the group

10 consisting of

- (a) hydrogen,
- (b) C<sub>1-8</sub> alkyl,
- (c) C<sub>2-8</sub> alkenyl,

wherein alkyl and alkenyl are unsubstituted or substituted one to three groups

15 independently selected from amino, cyano, halogen, hydroxy, oxo, carboxy, C<sub>1-4</sub> alkoxy, C<sub>1-4</sub> alkoxyC<sub>1-4</sub> alkoxy, and C<sub>1-3</sub> alkyloxycarbonyl;

- (d) fluoro,
- (e) cyano,
- (f) hydroxy,
- 20 (g) C<sub>1-6</sub> alkoxy,
- (h) C<sub>1-6</sub> alkylcarbonyloxy,
- (i) C<sub>1-6</sub> alkylthio,
- (j) C<sub>1-6</sub> alkylsulfonyl,
- (k) C<sub>3-8</sub> cycloalkyl C<sub>0-6</sub> alkyl,
- 25 (l) C<sub>3-8</sub> cycloheteroalkyl C<sub>0-6</sub> alkyl,
- (m) aryl C<sub>0-6</sub> alkyl,
- (n) aryl C<sub>2-4</sub> alkenyl,
- (o) amino,



- (p) C<sub>1-3</sub> acylamino,
- (q) aryl C<sub>1-3</sub> acylamino,
- (r) C<sub>1-6</sub> alkylamino,
- (s) di(C<sub>1-6</sub> alkyl)amino,
- 5 (t) aryl C<sub>0-3</sub> alkylamino,
- (u) di(aryl C<sub>0-3</sub> alkyl)amino,
- (v) C<sub>3-6</sub> cycloalkyl C<sub>0-2</sub> alkylamino,
- (w) C<sub>1-8</sub> alkylsulfonylamino,
- (x) aryl C<sub>0-3</sub> alkylsulfonylamino,
- 10 (y) C<sub>1-8</sub> alkyloxycarbonylamino,
- (z) aryl C<sub>0-3</sub> alkyloxycarbonylamino,
- (aa) aminocarbonylamino,
- (bb) C<sub>1-8</sub> alkylaminocarbonylamino,
- (cc) aryl C<sub>0-3</sub> alkylaminocarbonylamino,
- 15 (dd) C<sub>1-8</sub> alkylaminocarbonyloxy, and
- (ee) aryl C<sub>0-3</sub> alkylaminocarbonyloxy;

or R<sup>5</sup> and R<sup>6</sup> taken together with the carbon atom to which they are attached can form a C<sub>3-6</sub> spirocyclic ring system optionally containing a heteroatom selected from O, S, and NC<sub>0-4</sub> alkyl;

- 20 or R<sup>5</sup> and R<sup>6</sup> taken together can be =CR<sup>9</sup>R<sup>10</sup>, wherein R<sup>9</sup> and R<sup>10</sup> are each independently selected from the group consisting of hydrogen, C<sub>1-6</sub> alkyl, C<sub>3-6</sub> cycloalkyl C<sub>0-3</sub> alkyl, C<sub>3-6</sub> cycloheteroalkyl C<sub>0-3</sub> alkyl, and aryl C<sub>0-3</sub> alkyl; or R<sup>9</sup> and R<sup>10</sup> taken together with the carbon atom to which they are attached can form a 3- to 6-membered ring optionally containing a heteroatom selected from O, S, NH,
- 25 NC<sub>1-4</sub> alkyl, and NC<sub>1-4</sub> alkyloxycarbonyl;

wherein the aryl group above is selected from the group consisting of

- (1) phenyl,
- (2) naphthyl,
- (3) benzimidazolyl,
- 30 (4) benzofuranyl,
- (5) benzothiophenyl,
- (6) benzoxazolyl,
- (7) benzothiazolyl,
- (20) benzodihydrofuranyl,

- (21) 1,3-benzodioxolyl,  
 (10) indolyl,  
 (11) quinolyl,  
 (12) isoquinolyl,  
 5 (13) furanyl,  
 (14) thienyl,  
 (15) imidazolyl,  
 (16) oxazolyl,  
 (17) thiazolyl,  
 10 (18) isoxazolyl,  
 (19) isothiazolyl,  
 (20) pyrazolyl,  
 (21) pyrrolyl,  
 (22) pyridyl,  
 15 (23) pyrimidyl,  
 (24) pyrazinyl,  
 (25) thiadiazolyl,  
 (26) oxadiazolyl,  
 (27) triazolyl, and  
 20 (28) tetrazolyl;

wherein the aryl group as defined in items (1) to (28) is unsubstituted or substituted with one to three groups independently selected from halogen, aryl, C<sub>1-8</sub> alkyl, C<sub>3-8</sub> cycloalkyl, C<sub>3-8</sub> cycloheteroalkyl, aryl C<sub>1-6</sub>alkyl, amino C<sub>0-6</sub>alkyl, C<sub>1-6</sub> alkylamino C<sub>0-6</sub>alkyl, (C<sub>1-6</sub> alkyl)<sub>2</sub>amino C<sub>0-6</sub>alkyl, aryl C<sub>0-6</sub> alkylamino C<sub>0-6</sub>alkyl, (aryl C<sub>0-6</sub> alkyl)<sub>2</sub>amino C<sub>0-6</sub>alkyl, C<sub>1-6</sub> alkylthio, aryl C<sub>0-6</sub>alkylthio, C<sub>1-6</sub> alkylsulfinyl, aryl C<sub>0-6</sub>alkylsulfinyl, C<sub>1-6</sub> alkylsulfonyl, aryl C<sub>0-6</sub>alkylsulfonyl, C<sub>1-6</sub> alkoxy C<sub>0-6</sub>alkyl, aryl C<sub>0-6</sub> alkoxy C<sub>0-6</sub>alkyl, hydroxycarbonyl C<sub>0-6</sub>alkyl, C<sub>1-6</sub> alkoxycarbonyl C<sub>0-6</sub>alkyl, aryl C<sub>0-6</sub> alkoxycarbonyl C<sub>0-6</sub>alkyl, hydroxycarbonyl C<sub>1-6</sub> alkyloxy, hydroxy C<sub>0-6</sub>alkyl, cyano, nitro, perfluoroC<sub>1-4</sub>alkyl, perfluoroC<sub>1-4</sub>alkoxy, oxo, C<sub>1-6</sub> alkylcarbonyloxy, aryl C<sub>0-6</sub>alkylcarbonyloxy, C<sub>1-6</sub> alkylcarbonylamino, aryl C<sub>0-6</sub> alkylcarbonylamino, C<sub>1-6</sub> alkylsulfonylamino, aryl C<sub>0-6</sub>alkylsulfonylamino, C<sub>1-6</sub> alkoxycarbonylamino, aryl C<sub>0-6</sub> alkoxycarbonylamino, C<sub>1-6</sub>alkylamino-carbonylamino, aryl C<sub>0-6</sub>alkylaminocarbonylamino, (C<sub>1-6</sub>alkyl)<sub>2</sub> aminocarbonylamino, (aryl C<sub>0-6</sub>alkyl)<sub>2</sub> aminocarbonylamino, (C<sub>1-6</sub>alkyl)<sub>2</sub> aminocarbonyloxy, and (aryl C<sub>0-6</sub>alkyl)<sub>2</sub> aminocarbonyloxy.

18. The method of Claim 15 wherein the compound is selected from the group consisting of:
- 17 $\beta$ -hydroxy-7 $\beta$ -methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;
  - 17 $\alpha$ -hydroxy-7 $\beta$ -methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;
  - 5 17 $\beta$ -hydroxy-4,16 $\alpha$ -dimethyl-4-aza-5 $\alpha$ -androst-1-en-3-one;
  - 17 $\beta$ -hydroxy-4,16 $\beta$ -dimethyl-4-aza-5 $\alpha$ -androst-1-en-3-one;
  - 16 $\alpha$ -fluoro-17 $\beta$ -hydroxy-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;
  - 16 $\beta$ -fluoro-17 $\alpha$ -hydroxy-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;
  - 16 $\alpha$ -fluoro-17 $\beta$ -hydroxy-4,17 $\alpha$ -dimethyl-4-aza-5 $\alpha$ -androst-1-en-3-one;
  - 10 16 $\beta$ -fluoro-17 $\beta$ -hydroxy-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;
  - 2 $\alpha$ -fluoro-17 $\beta$ -hydroxy-4-methyl-4-aza-5 $\alpha$ -androst-3-one;
  - 2 $\beta$ -fluoro-17 $\beta$ -hydroxy-4-methyl-4-aza-5 $\alpha$ -androst-3-one;
  - 2,2-difluoro-17 $\beta$ -hydroxy-4-methyl-4-aza-5 $\alpha$ -androst-3-one;
  - 17 $\beta$ -hydroxy-2 $\alpha$ ,4-dimethyl-4-aza-5 $\alpha$ -androst-3-one;
  - 15 17 $\beta$ -hydroxy-16 $\alpha$ -(methoxymethyl)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;
  - 17 $\beta$ -hydroxy-16 $\alpha$ -(ethoxymethyl)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;
  - 17 $\beta$ -hydroxy-16 $\alpha$ -(2-methoxyethoxymethyl)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;
  - 17 $\beta$ -hydroxy-16 $\alpha$ -(ethyloxycarbonylmethyl)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;
  - 17 $\beta$ -hydroxy-16 $\alpha$ -(carboxymethyl)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;
  - 20 17 $\beta$ -hydroxy-16 $\alpha$ -(2-hydroxyethyl)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;
  - 17 $\beta$ -hydroxy-16 $\alpha$ -allyl-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;
  - 17 $\beta$ -hydroxy-4,16 $\alpha$ ,17 $\alpha$ -trimethyl-4-aza-5 $\alpha$ -androst-1-en-3-one;
  - 17 $\beta$ -hydroxy-4-methyl-4-aza-5 $\alpha$ -androst-3-one;
  - 17 $\beta$ -hydroxy-4,17 $\alpha$ -dimethyl-4-aza-5 $\alpha$ -androst-3-one;
  - 25 17 $\beta$ -hydroxy-17 $\alpha$ -ethyl-4-methyl-4-aza-5 $\alpha$ -androst-3-one;
  - 17 $\beta$ -hydroxy-4,17 $\alpha$ -dimethyl-4-aza-5 $\alpha$ -androst-1-en-3-one;
  - 17 $\beta$ -hydroxy-16 $\alpha$ -(2-trifluoromethylbenzyl)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;
  - 17 $\beta$ -hydroxy-16 $\alpha$ -(3-trifluoromethylbenzyl)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;
  - 17 $\beta$ -hydroxy-16 $\alpha$ -(4-trifluoromethylbenzyl)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;
  - 30 17 $\beta$ -hydroxy-16 $\alpha$ -(2-fluorobenzyl)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;
  - 17 $\beta$ -hydroxy-16 $\alpha$ -(3-fluorobenzyl)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;
  - 17 $\beta$ -hydroxy-16 $\alpha$ -(4-fluorobenzyl)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;
  - 17 $\beta$ -hydroxy-16 $\alpha$ -(3-chlorobenzyl)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;
  - 17 $\beta$ -hydroxy-16 $\alpha$ -(4-chlorobenzyl)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;
  - 35 17 $\beta$ -hydroxy-16 $\alpha$ -(4-trifluoromethoxybenzyl)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;

- 17 $\beta$ -hydroxy-16 $\alpha$ -(3-methoxybenzyl)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16 $\alpha$ -benzyl-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16 $\alpha$ -(2-methylbenzyl)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16 $\alpha$ -(2-naphthyl)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
5 17 $\beta$ -hydroxy-16 $\beta$ -(2-methylbenzyl)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16 $\beta$ -(3-methylbenzyl)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16 $\beta$ -(4-chlorobenzyl)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16-(2-chlorobenzylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16-(3-fluorobenzylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
10 17 $\beta$ -hydroxy-16-(3-trifluoromethylbenzylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16-(2-trifluoromethylbenzylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16-(3-methoxybenzylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
15 17 $\beta$ -hydroxy-16-(3,4-methylenedioxybenzylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16-(5-methoxy-3,4-methylenedioxybenzylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16-(3,5-difluorobenzylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
20 17 $\beta$ -hydroxy-16-(3,5-dichlorobenzylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16-(3,4-dimethoxybenzylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16-(pyridin-2-ylmethylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16-(pyridin-4-ylmethylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16-(cyclopropylmethylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
25 17 $\beta$ -hydroxy-16-(furan-2-ylmethylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16-(1-methyl-imidazol-2-ylmethylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16-cyclohexylidene-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16-ethylidene-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
30 17 $\beta$ -hydroxy-16-isopropylidene-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16-isobutylidene-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16-(piperidin-4-ylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16-(N-t-butyloxycarbonylpiperidin-4-ylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;

- 17 $\beta$ -hydroxy-16-(1,3-thiazol-2-ylmethylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16-(benzofuran-2-ylmethylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
5 17 $\beta$ -hydroxy-16-(5-hydroxymethyl-furan-2-ylmethylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16-(1-methyl-pyrrol-2-ylmethylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16-(pyrrol-2-ylmethylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
10 17 $\beta$ -hydroxy-16-(2-ethoxy-pyrimidin-5-ylmethylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16-(pyrimidin-5-ylmethylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16-(2-methyl-pyrimidin-5-ylmethylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
15 17 $\beta$ -hydroxy-16-(3-trifluoromethoxybenzylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16-(4-trifluoromethoxybenzylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16-(4-dimethylaminobenzylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
20 17 $\beta$ -hydroxy-16-(9-methoxy-quinolin-2-ylmethylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16-(quinoxalin-6-ylmethylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16-(quinoxalin-7-ylmethylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
25 17 $\beta$ -hydroxy-16-(2-hydroxybenzylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16-(3-hydroxybenzylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16-(4-hydroxybenzylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16-(pyridin-3-ylmethylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16-(N-methylpiperidin-4-ylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
30 17 $\beta$ -hydroxy-16-(2-chloro-4-dimethylaminobenzylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16-(2-amino-pyridin-3-ylmethylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;

one of R<sup>5</sup> and R<sup>6</sup> is hydrogen or methyl and the other is selected from the group consisting of

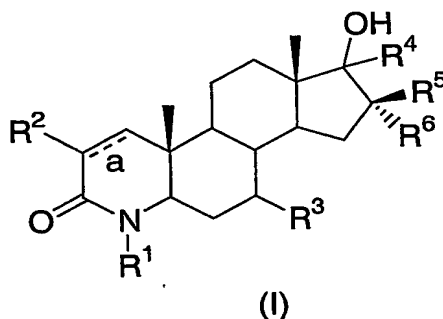
- (a) hydrogen,
- (b) C<sub>1-8</sub> alkyl,
- 5 (c) C<sub>2-8</sub> alkenyl,

wherein alkyl and alkenyl are unsubstituted or substituted one to three groups independently selected from amino, cyano, halogen, hydroxy, oxo, carboxy, C<sub>1-4</sub> alkoxy, C<sub>1-4</sub> alkoxyC<sub>1-4</sub> alkoxy, and C<sub>1-3</sub> alkyloxycarbonyl;

- (d) fluoro,
- 10 (e) cyano,
- (f) hydroxy,
- (g) C<sub>1-6</sub> alkoxy,
- (h) C<sub>1-6</sub> alkylcarbonyloxy,
- (i) C<sub>1-6</sub> alkylthio,
- 15 (j) C<sub>1-6</sub> alkylsulfonyl,
- (k) C<sub>3-8</sub> cycloalkyl C<sub>0-6</sub> alkyl,
- (l) C<sub>3-8</sub> cycloheteroalkyl C<sub>0-6</sub> alkyl,
- (m) aryl C<sub>0-6</sub> alkyl,
- (n) aryl C<sub>2-4</sub> alkenyl,
- 20 (o) amino,
- (p) C<sub>1-3</sub> acylamino,
- (q) aryl C<sub>1-3</sub> acylamino,
- (r) C<sub>1-6</sub> alkylamino,
- (s) di(C<sub>1-6</sub> alkyl)amino,
- 25 (t) aryl C<sub>0-3</sub> alkylamino,
- (u) di(aryl C<sub>0-3</sub> alkyl)amino,
- (v) C<sub>3-6</sub> cycloalkyl C<sub>0-2</sub> alkylamino,
- (w) C<sub>1-8</sub> alkylsulfonylamino,
- (x) aryl C<sub>0-3</sub> alkylsulfonylamino,
- 30 (y) C<sub>1-8</sub> alkyloxycarbonylamino,
- (z) aryl C<sub>0-3</sub> alkyloxycarbonylamino,
- (aa) aminocarbonylamino,
- (bb) C<sub>1-8</sub> alkylaminocarbonylamino,
- (cc) aryl C<sub>0-3</sub> alkylaminocarbonylamino,

**17 $\beta$ -hydroxy-16-(3-carboxymethyl-benzylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;**

19. A method of preventing or treating a condition in a female  
10 subject which is caused by androgen deficiency or which can be ameliorated by  
androgen replacement which condition is selected from the group consisting of  
postmenopausal osteoporosis, osteopenia, glucocorticoid-induced osteoporosis,  
periodontal disease, HIV-wasting, cancer cachexia, obesity, aplastic and other  
anemias, muscular dystrophies, premature ovarian failure, and autoimmune disease,  
15 comprising administering to the female subject in need of such prevention or  
treatment a prophylactically or therapeutically effective amount of a compound of  
formula I:



(dd) C<sub>1-8</sub> alkylaminocarbonyloxy, and

(ee) aryl C<sub>0-3</sub> alkylaminocarbonyloxy;

or R<sup>5</sup> and R<sup>6</sup> taken together with the carbon atom to which they are attached can form a C<sub>3-6</sub> spirocyclic ring system optionally containing a heteroatom selected from O, S, and NC<sub>0-4</sub> alkyl;

or R<sup>5</sup> and R<sup>6</sup> taken together can be =CR<sup>9</sup>R<sup>10</sup>, wherein R<sup>9</sup> and R<sup>10</sup> are each independently selected from the group consisting of hydrogen, C<sub>1-6</sub> alkyl, C<sub>3-6</sub> cycloalkyl C<sub>0-3</sub> alkyl, C<sub>3-6</sub> cycloheteroalkyl C<sub>0-3</sub> alkyl, and aryl C<sub>0-3</sub> alkyl; or R<sup>9</sup> and R<sup>10</sup> taken together with the carbon atom to which they are attached can form a 3- to 6-membered ring optionally containing a heteroatom selected from O, S, NH, NC<sub>1-4</sub> alkyl, and NC<sub>1-4</sub> alkyloxycarbonyl;

wherein the aryl group above is selected from the group consisting of

- (1) phenyl,
- (2) naphthyl,
- (3) benzimidazolyl,
- (4) benzofuranyl,
- (5) benzothiophenyl,
- (6) benzoxazolyl,
- (7) benzothiazolyl,
- (22) benzodihydrofuranyl,
- (23) 1,3-benzodioxolyl,
- (10) indolyl,
- (11) quinolyl,
- (12) isoquinolyl,
- (13) furanyl,
- (14) thienyl,
- (15) imidazolyl,
- (16) oxazolyl,
- (17) thiazolyl,
- (18) isoxazolyl,
- (19) isothiazolyl,
- (20) pyrazolyl,
- (21) pyrrolyl,
- (22) pyridyl,



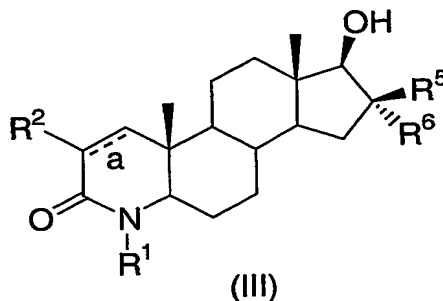
- (23) pyrimidyl,  
 (24) pyrazinyl,  
 (25) thiadiazolyl,  
 (26) oxadiazolyl,  
 5 (27) triazolyl, and  
 (28) tetrazolyl;

wherein the aryl group as defined in items (1) to (28) is unsubstituted or substituted with one to three groups independently selected from halogen, aryl, C<sub>1-8</sub> alkyl, C<sub>3-8</sub> cycloalkyl, C<sub>3-8</sub> cycloheteroalkyl, aryl C<sub>1-6</sub>alkyl, amino C<sub>0-6</sub>alkyl, C<sub>1-6</sub> alkylamino C<sub>0-6</sub>alkyl, (C<sub>1-6</sub> alkyl)<sub>2</sub>amino C<sub>0-6</sub>alkyl, aryl C<sub>0-6</sub> alkylamino C<sub>0-6</sub>alkyl, (aryl C<sub>0-6</sub> alkyl)<sub>2</sub>amino C<sub>0-6</sub>alkyl, C<sub>1-6</sub> alkylthio, aryl C<sub>0-6</sub>alkylthio, C<sub>1-6</sub> alkylsulfinyl, aryl C<sub>0-6</sub>alkylsulfinyl, C<sub>1-6</sub> alkylsulfonyl, aryl C<sub>0-6</sub>alkylsulfonyl, C<sub>1-6</sub> alkoxy C<sub>0-6</sub>alkyl, aryl C<sub>0-6</sub> alkoxy C<sub>0-6</sub>alkyl, hydroxycarbonyl C<sub>0-6</sub>alkyl, C<sub>1-6</sub> alkoxycarbonyl C<sub>0-6</sub>alkyl, aryl C<sub>0-6</sub> alkoxycarbonyl C<sub>0-6</sub>alkyl, hydroxycarbonyl C<sub>1-6</sub> alkyloxy, hydroxy C<sub>0-6</sub>alkyl, cyano, nitro, perfluoroC<sub>1-4</sub>alkyl, perfluoroC<sub>1-4</sub>alkoxy, oxo, C<sub>1-6</sub> alkylcarbonyloxy, aryl C<sub>0-6</sub>alkylcarbonyloxy, C<sub>1-6</sub> alkylcarbonylamino, aryl C<sub>0-6</sub> alkylcarbonylamino, C<sub>1-6</sub> alkylsulfonylamino, aryl C<sub>0-6</sub>alkylsulfonylamino, C<sub>1-6</sub> alkoxycarbonylamino, aryl C<sub>0-6</sub> alkoxycarbonylamino, C<sub>1-6</sub>alkylamino-carbonylamino, aryl C<sub>0-6</sub>alkylaminocarbonylamino, (C<sub>1-6</sub>alkyl)<sub>2</sub> aminocarbonylamino, (aryl C<sub>0-6</sub>alkyl)<sub>2</sub> aminocarbonylamino, (C<sub>1-6</sub>alkyl)<sub>2</sub> aminocarbonyloxy, and (aryl C<sub>0-6</sub>alkyl)<sub>2</sub> aminocarbonyloxy.

20. The method of Claim 19 wherein said condition is osteoporosis.

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21. The method of Claim 19 wherein the compound is of structural formula III:



or a pharmaceutically acceptable salt thereof; wherein

“a” represents a single bond or a double bond;

R<sup>1</sup> is hydrogen, hydroxymethyl, or C<sub>1-3</sub> alkyl, wherein alkyl is unsubstituted or substituted with one to seven fluorine atoms;

- 5 R<sup>2</sup> is hydrogen, fluorine, or C<sub>1-4</sub> alkyl when “a” represents a double bond; or two R<sup>2</sup> substituents are each independently hydrogen, fluorine, or C<sub>1-4</sub> alkyl when “a” represents a single bond;

one of R<sup>5</sup> and R<sup>6</sup> is hydrogen or methyl and the other is selected from the group consisting of

- 10 (a) hydrogen,  
(b) C<sub>1-8</sub> alkyl,  
(c) C<sub>2-8</sub> alkenyl,

wherein alkyl and alkenyl are unsubstituted or substituted one to three groups independently selected from amino, cyano, halogen, hydroxy, oxo, carboxy,

- 15 C<sub>1-4</sub> alkoxy, C<sub>1-4</sub> alkoxyC<sub>1-4</sub> alkoxy, and C<sub>1-3</sub> alkyloxycarbonyl;

- (d) fluoro,  
(e) cyano,  
(f) hydroxy,  
(g) C<sub>1-6</sub> alkoxy,  
20 (h) C<sub>1-6</sub> alkylcarbonyloxy,  
(i) C<sub>1-6</sub> alkylthio,  
(j) C<sub>1-6</sub> alkylsulfonyl,  
(k) C<sub>3-8</sub> cycloalkyl C<sub>0-6</sub> alkyl,  
(l) C<sub>3-8</sub> cycloheteroalkyl C<sub>0-6</sub> alkyl,  
25 (m) aryl C<sub>0-6</sub> alkyl,  
(n) aryl C<sub>2-4</sub> alkenyl,  
(o) amino,  
(p) C<sub>1-3</sub> acylamino,  
(q) aryl C<sub>1-3</sub> acylamino,  
30 (r) C<sub>1-6</sub> alkylamino,  
(s) di(C<sub>1-6</sub> alkyl)amino,  
(t) aryl C<sub>0-3</sub> alkylamino,  
(u) di(aryl C<sub>0-3</sub> alkyl)amino,  
(v) C<sub>3-6</sub> cycloalkyl C<sub>0-2</sub> alkylamino,  
35 (w) C<sub>1-8</sub> alkylsulfonylamino,

- (x) aryl C<sub>0-3</sub> alkylsulfonylamino,
- (y) C<sub>1-8</sub> alkyloxycarbonylamino,
- (z) aryl C<sub>0-3</sub> alkyloxycarbonylamino,
- (aa) aminocarbonylamino,
- 5 (bb) C<sub>1-8</sub> alkylaminocarbonylamino,
- (cc) aryl C<sub>0-3</sub> alkylaminocarbonylamino,
- (dd) C<sub>1-8</sub> alkylaminocarbonyloxy, and
- (ee) aryl C<sub>0-3</sub> alkylaminocarbonyloxy;

or R<sup>5</sup> and R<sup>6</sup> taken together with the carbon atom to which they are attached  
 10 can form a C<sub>3-6</sub> spirocyclic ring system optionally containing a heteroatom selected from O, S, and NC<sub>0-4</sub> alkyl;

or R<sup>5</sup> and R<sup>6</sup> taken together can be =CR<sup>9</sup>R<sup>10</sup>, wherein R<sup>9</sup> and R<sup>10</sup> are each  
 independently selected from the group consisting of hydrogen, C<sub>1-6</sub> alkyl, C<sub>3-6</sub>  
 cycloalkyl C<sub>0-3</sub> alkyl, C<sub>3-6</sub> cycloheteroalkyl C<sub>0-3</sub> alkyl, and aryl C<sub>0-3</sub> alkyl; or R<sup>9</sup>  
 15 and R<sup>10</sup> taken together with the carbon atom to which they are attached can form a 3-  
 to 6-membered ring optionally containing a heteroatom selected from O, S, NH,  
 NC<sub>1-4</sub> alkyl, and NC<sub>1-4</sub> alkyloxycarbonyl;

wherein the aryl group above is selected from the group consisting of

- (1) phenyl,
- 20 (2) naphthyl,
- (3) benzimidazolyl,
- (4) benzofuranyl,
- (5) benzothiophenyl,
- (6) benzoxazolyl,
- 25 (7) benzothiazolyl,
- (24) benzodihydrofuranyl,
- (25) 1,3-benzodioxolyl,
- (10) indolyl,
- (11) quinolyl,
- 30 (12) isoquinolyl,
- (13) furanyl,
- (14) thienyl,
- (15) imidazolyl,
- (16) oxazolyl,

- (17) thiazolyl,  
 (18) isoxazolyl,  
 (19) isothiazolyl,  
 (20) pyrazolyl,  
 5 (21) pyrrolyl,  
 (22) pyridyl,  
 (23) pyrimidyl,  
 (24) pyrazinyl,  
 (25) thiadiazolyl,  
 10 (26) oxadiazolyl,  
 (27) triazolyl, and  
 (28) tetrazolyl;

wherein the aryl group as defined in items (1) to (28) is unsubstituted or substituted with one to three groups independently selected from halogen, aryl, C<sub>1-8</sub> alkyl, C<sub>3-8</sub> cycloalkyl, C<sub>3-8</sub> cycloheteroalkyl, aryl C<sub>1-6</sub>alkyl, amino C<sub>0-6</sub>alkyl, C<sub>1-6</sub> alkylamino C<sub>0-6</sub>alkyl, (C<sub>1-6</sub> alkyl)<sub>2</sub>amino C<sub>0-6</sub>alkyl, aryl C<sub>0-6</sub> alkylamino C<sub>0-6</sub>alkyl, (aryl C<sub>0-6</sub> alkyl)<sub>2</sub>amino C<sub>0-6</sub>alkyl, C<sub>1-6</sub> alkylthio, aryl C<sub>0-6</sub>alkylthio, C<sub>1-6</sub> alkylsulfinyl, aryl C<sub>0-6</sub>alkylsulfinyl, C<sub>1-6</sub> alkylsulfonyl, aryl C<sub>0-6</sub>alkylsulfonyl, C<sub>1-6</sub> alkoxy C<sub>0-6</sub>alkyl, aryl C<sub>0-6</sub> alkoxy C<sub>0-6</sub>alkyl, hydroxycarbonyl C<sub>0-6</sub>alkyl, C<sub>1-6</sub> alkoxycarbonyl C<sub>0-6</sub>alkyl, aryl C<sub>0-6</sub> alkoxycarbonyl C<sub>0-6</sub>alkyl, hydroxycarbonyl C<sub>1-6</sub> alkyloxy, hydroxy C<sub>0-6</sub>alkyl, cyano, nitro, perfluoroC<sub>1-4</sub>alkyl, perfluoroC<sub>1-4</sub>alkoxy, oxo, C<sub>1-6</sub> alkylcarbonyloxy, aryl C<sub>0-6</sub>alkylcarbonyloxy, C<sub>1-6</sub> alkylcarbonylamino, aryl C<sub>0-6</sub> alkylcarbonylamino, C<sub>1-6</sub> alkylsulfonylamino, aryl C<sub>0-6</sub>alkylsulfonylamino, C<sub>1-6</sub> alkoxycarbonylamino, aryl C<sub>0-6</sub> alkoxycarbonylamino, C<sub>1-6</sub>alkylamino-carbonylamino, aryl C<sub>0-6</sub>alkylaminocarbonylamino, (C<sub>1-6</sub>alkyl)<sub>2</sub> aminocarbonylamino, (aryl C<sub>0-6</sub>alkyl)<sub>2</sub> aminocarbonylamino, (C<sub>1-6</sub>alkyl)<sub>2</sub> aminocarbonyloxy, and (aryl C<sub>0-6</sub>alkyl)<sub>2</sub> aminocarbonyloxy.

22. The method of Claim 19 wherein the compound is selected from the group consisting of:
- 30 17 $\beta$ -hydroxy-7 $\beta$ -methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
 17 $\alpha$ -hydroxy-7 $\beta$ -methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
 17 $\beta$ -hydroxy-4,16 $\alpha$ -dimethyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
 17 $\beta$ -hydroxy-4,16 $\beta$ -dimethyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
 35 16 $\alpha$ -fluoro-17 $\beta$ -hydroxy-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;

- 16 $\beta$ -fluoro-17 $\alpha$ -hydroxy-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
16 $\alpha$ -fluoro-17 $\beta$ -hydroxy-4,17 $\alpha$ -dimethyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
16 $\beta$ -fluoro-17 $\beta$ -hydroxy-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
2 $\alpha$ -fluoro-17 $\beta$ -hydroxy-4-methyl-4-aza-5 $\alpha$ -androst-3-one;  
5 2 $\beta$ -fluoro-17 $\beta$ -hydroxy-4-methyl-4-aza-5 $\alpha$ -androst-3-one;  
2,2-difluoro-17 $\beta$ -hydroxy-4-methyl-4-aza-5 $\alpha$ -androst-3-one;  
17 $\beta$ -hydroxy-2 $\alpha$ ,4-dimethyl-4-aza-5 $\alpha$ -androst-3-one;  
17 $\beta$ -hydroxy-16 $\alpha$ -(methoxymethyl)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16 $\alpha$ -(ethoxymethyl)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
10 17 $\beta$ -hydroxy-16 $\alpha$ -(2-methoxyethoxymethyl)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16 $\alpha$ -(ethyloxycarbonylmethyl)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16 $\alpha$ -(carboxymethyl)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16 $\alpha$ -(2-hydroxyethyl)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16 $\alpha$ -allyl-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
15 17 $\beta$ -hydroxy-4,16 $\alpha$ ,17 $\alpha$ -trimethyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-4-methyl-4-aza-5 $\alpha$ -androst-3-one;  
17 $\beta$ -hydroxy-4,17 $\alpha$ -dimethyl-4-aza-5 $\alpha$ -androst-3-one;  
17 $\beta$ -hydroxy-17 $\alpha$ -ethyl-4-methyl-4-aza-5 $\alpha$ -androst-3-one;  
17 $\beta$ -hydroxy-4,17 $\alpha$ -dimethyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
20 17 $\beta$ -hydroxy-16 $\alpha$ -(2-trifluoromethylbenzyl)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16 $\alpha$ -(3-trifluoromethylbenzyl)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16 $\alpha$ -(4-trifluoromethylbenzyl)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16 $\alpha$ -(2-fluorobenzyl)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16 $\alpha$ -(3-fluorobenzyl)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
25 17 $\beta$ -hydroxy-16 $\alpha$ -(4-fluorobenzyl)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16 $\alpha$ -(3-chlorobenzyl)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16 $\alpha$ -(4-chlorobenzyl)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16 $\alpha$ -(4-trifluoromethoxybenzyl)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16 $\alpha$ -(3-methoxybenzyl)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
30 17 $\beta$ -hydroxy-16 $\alpha$ -benzyl-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16 $\alpha$ -(2-methylbenzyl)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16 $\alpha$ -(2-naphthyl)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16 $\beta$ -(2-methylbenzyl)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16 $\beta$ -(3-methylbenzyl)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
35 17 $\beta$ -hydroxy-16 $\beta$ -(4-chlorobenzyl)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;

- 17 $\beta$ -hydroxy-16-(2-chlorobenzylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16-(3-fluorobenzylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16-(3-trifluoromethylbenzylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
5 17 $\beta$ -hydroxy-16-(2-trifluoromethylbenzylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16-(3-methoxybenzylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16-(3,4-methylenedioxybenzylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
10 17 $\beta$ -hydroxy-16-(5-methoxy-3,4-methylenedioxybenzylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16-(3,5-difluorobenzylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16-(3,5-dichlorobenzylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16-(3,4-dimethoxybenzylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
15 17 $\beta$ -hydroxy-16-(pyridin-2-ylmethylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16-(pyridin-4-ylmethylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16-(cyclopropylmethylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16-(furan-2-ylmethylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16-(1-methyl-imidazol-2-ylmethylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
20 17 $\beta$ -hydroxy-16-cyclohexylidene-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16-ethylidene-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16-isopropylidene-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16-isobutylidene-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
25 17 $\beta$ -hydroxy-16-(piperidin-4-ylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16-(N-t-butyloxycarbonylpiperidin-4-ylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16-(1,3-thiazol-2-ylmethylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
30 17 $\beta$ -hydroxy-16-(benzofuran-2-ylmethylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16-(5-hydroxymethyl-furan-2-ylmethylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16-(1-methyl-pyrrol-2-ylmethylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
35 3-one;

- 17 $\beta$ -hydroxy-16-(pyrrol-2-ylmethylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16-(2-ethoxy-pyrimidin-5-ylmethylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16-(pyrimidin-5-ylmethylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
5 17 $\beta$ -hydroxy-16-(2-methyl-pyrimidin-5-ylmethylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16-(3-trifluoromethoxybenzylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16-(4-trifluoromethoxybenzylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
10 17 $\beta$ -hydroxy-16-(4-dimethylaminobenzylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16-(9-methoxy-quinolin-2-ylmethylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
15 17 $\beta$ -hydroxy-16-(quinoxalin-6-ylmethylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16-(quinoxalin-7-ylmethylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16-(2-hydroxybenzylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16-(3-hydroxybenzylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16-(4-hydroxybenzylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
20 17 $\beta$ -hydroxy-16-(pyridin-3-ylmethylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16-(N-methylpiperidin-4-ylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16-(2-chloro-4-dimethylaminobenzylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
25 17 $\beta$ -hydroxy-16-(2-amino-pyridin-3-ylmethylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16-(3-carboxymethyl-benzylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16-(3-carboxybenzylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
30 17 $\beta$ -hydroxy-16-(3-nitrobenzylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16-(4-nitrobenzylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one; and  
17 $\beta$ -hydroxy-16-(benzylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
or a pharmaceutically acceptable salt thereof.

23. The method of Claim 20 which further comprises the administration of a bone-strengthening agent selected from the group consisting of:

- (a) an estrogen or an estrogen derivative, alone or in combination with a progestin or progestin derivative,
- 5 (b) a bisphosphonate,
- (c) an antiestrogen or a selective estrogen receptor modulator,
- (d) an  $\alpha_v\beta_3$  integrin receptor antagonist,
- (e) a cathepsin K inhibitor,
- (f) an HMG-CoA reductase inhibitor,
- 10 (g) an osteoclast vacuolar ATPase inhibitor,
- (h) an antagonist of VEGF binding to osteoclast receptors,
- (i) an activator of peroxisome proliferator-activated receptor  $\gamma$ ,
- (j) calcitonin,
- (k) a calcium receptor antagonist,
- 15 (l) parathyroid hormone or analog thereof,
- (m) a growth hormone secretagogue,
- (n) human growth hormone,
- (o) insulin-like growth factor,
- (p) a P-38 protein kinase inhibitor,
- 20 (q) bone morphogenetic protein,
- (r) an inhibitor of BMP antagonism,
- (s) a prostaglandin derivative,
- (t) vitamin D or vitamin D derivative,
- (u) vitamin K or vitamin K derivative,
- 25 (v) ipriflavone,
- (w) fluoride salts,
- (x) dietary calcium supplement, and
- (y) osteoprotegerin.

30 24. The method of Claim 23 wherein:

- (a) the estrogen or estrogen derivative, alone or in combination with a progestin or progestin derivative, is selected from conjugated estrogen, equine estrogen, 17 $\beta$ -estradiol, estrone, 17 $\beta$ -ethynyl estradiol, alone or in combination with an agent selected from norethindrone and medroxyprogesterone acetate;
- 35 (b) the bisphosphonate is selected from:



- (1) (4-amino-1-hydroxybutylidene)-bisphosphonate;
- (2) [(cycloheptylamino)-methylene]-bisphosphonate;
- (3) (dichloromethylene)-bisphosphonate;
- (4) [1-hydroxy-3-(1-pyrrolidinyl)-propylidene]-bisphosphonate;
- 5 (5) (1-hydroxyethylidene)-bisphosphonate;
- (6) [1-hydroxy-3-(methylpentylamino)propylidene]-bisphosphonate;
- (7) (6-amino-1-hydroxyhexylidene)-bisphosphonate;
- (8) [3-(dimethylamino)-1-hydroxypropylidene]-bisphosphonate;
- 10 (9) (3-amino-1-hydroxypropylidene)-bisphosphonate;
- (10) [2-(2-pyridinyl)ethylidene]-bisphosphonate;
- (11) [1-hydroxy-2-(3-pyridinyl)-ethylidene]-bisphosphonate;
- (12) {[4-chlorophenyl]thio}methylene}-bisphosphonate;
- 15 (13) [1-hydroxy-2-(1H-imidazol-1-yl)ethylidene]-bisphosphonate; and
- (14) [1-hydroxy-2-imidazopyridin-(1,2-a)-3-ylethylidene]-bisphosphonate;
- (c) the antiestrogen or selective estrogen receptor modulator is selected from the group consisting of raloxifene, clomiphene, zuclomiphene, enclomiphene, nafoxidene, CI-680, CI-628, CN-55,945-27, Mer-25, U-11,555A, U-100A, tamoxifen, lasofoxifene, toremifene, azorxifene, EM-800, EM-652, TSE 424, droloxifene, idoxifene, and levormeloxifene;
- 20 (d) the HMG-CoA reductase inhibitor is selected from lovastatin, simvastatin, dihydroxy-open acid simvastatin, pravastatin, fluvastatin, atorvastatin, cerivastatin, rosuvastatin, pitavastatin, and nisvastatin;
- (e) calcitonin is salmon calcitonin administered as a nasal spray;
- (f) bone morphogenetic protein is selected from BMP 2, BMP 3, BMP 5, BMP 6, BMP 7, TGF beta, and GDF5;
- 25 (g) insulin-like growth factor is selected from IGF I and IGF II alone or in combination with IGF binding protein 3;
- (h) the prostaglandin derivative is selected from agonists of prostaglandin receptors EP1, EP2, EP4, FP, and IP;
- (i) the fibroblast growth factor is selected from aFGF and bFGF;
- 30

- (j) parathyroid hormone (PTH) or PTH analog is selected from PTH subcutaneous injection, human PTH (1-84), human PTH (1-34), and other partial sequences, native or with substitutions;
- (k) vitamin D or vitamin D derivative is selected from natural vitamin D, 25-OH-vitamin D3, 1 $\alpha$ ,25(OH)<sub>2</sub> vitamin D3, 1 $\alpha$ -OH-vitamin D3, 1 $\alpha$ -OH-vitamin D2, dihydrotachysterol, 26,27-F6-1 $\alpha$ ,25(OH)<sub>2</sub> vitamin D3, 19-nor-1 $\alpha$ ,25(OH)<sub>2</sub> vitamin D3, 22-oxacalcitriol, calcipotriol, 1 $\alpha$ ,25(OH)<sub>2</sub>-16-ene-23-yne-vitamin D3 (Ro 23-7553), EB1089, 20-epi-1 $\alpha$ ,25(OH)<sub>2</sub> vitamin D3, KH1060, ED71, 1 $\alpha$ ,24(S)-(OH)<sub>2</sub> vitamin D3, and 1 $\alpha$ ,24(R)-(OH)<sub>2</sub> vitamin D3;
- (l) the dietary calcium supplement is selected from calcium carbonate, calcium citrate, and natural calcium salts; and
- (m) the fluoride salts are selected from sodium fluoride and monosodium fluorophosphate (MFP); and pharmaceutically acceptable salts thereof.

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25. The method of Claim 16 which further comprises the administration of alendronate monosodium trihydrate.

26. The method of Claim 20 which further comprises the administration of a bone-strengthening agent selected from the group consisting of:
- (a) an estrogen or an estrogen derivative, alone or in combination with a progestin or progestin derivative,
- (b) a bisphosphonate,
- (c) an antiestrogen or a selective estrogen receptor modulator,
- (d) an  $\alpha$ v $\beta$ 3 integrin receptor antagonist,
- (e) a cathepsin K inhibitor,
- (f) an HMG-CoA reductase inhibitor,
- (g) an osteoclast vacuolar ATPase inhibitor,
- (h) an antagonist of VEGF binding to osteoclast receptors,
- (i) an activator of peroxisome proliferator-activated receptor  $\gamma$ ,
- (j) calcitonin,
- (k) a calcium receptor antagonist,
- (l) parathyroid hormone or analog thereof,
- (m) a growth hormone secretagogue,
- (n) human growth hormone,

35

- (o) insulin-like growth factor,
- (p) a P-38 protein kinase inhibitor,
- (q) bone morphogenetic protein,
- (r) an inhibitor of BMP antagonism,
- 5 (s) a prostaglandin derivative,
- (t) vitamin D or vitamin D derivative,
- (u) vitamin K or vitamin K derivative,
- (v) ipriflavone,
- (w) fluoride salts,
- 10 (x) dietary calcium supplement, and
- (y) osteoprotegerin.

27. The method of Claim 26 wherein:

- (a) the estrogen or estrogen derivative, alone or in combination with a progestin or  
15 progestin derivative, is selected from conjugated estrogen, equine estrogen,  
17 $\beta$ -estradiol, estrone, 17 $\beta$ -ethynyl estradiol, alone or in combination with an  
agent selected from norethindrone and medroxyprogesterone acetate;
- (b) the bisphosphonate is selected from:
  - (1) (4-amino-1-hydroxybutylidene)-bisphosphonate;
  - 20 (2) [(cycloheptylamino)-methylene]-bisphosphonate;
  - (3) (dichloromethylene)-bisphosphonate;
  - (4) [1-hydroxy-3-(1-pyrrolidinyl)-propylidene]-bisphosphonate;
  - (5) (1-hydroxyethylidene)-bisphosphonate;
  - (6) [1-hydroxy-3-(methylpentylamino)propylidene]-  
25 bisphosphonate;
  - (7) (6-amino-1-hydroxyhexylidene)-bisphosphonate;
  - (8) [3-(dimethylamino)-1-hydroxypropylidene]-  
bisphosphonate;
  - (9) (3-amino-1-hydroxypropylidene)-bisphosphonate;
  - 30 (10) [2-(2-pyridinyl)ethylidene]-bisphosphonate;
  - (11) [1-hydroxy-2-(3-pyridinyl)-ethylidene]-bisphosphonate;
  - (12) {[4-chlorophenyl]thio}methylene}-bisphosphonate;
  - (13) [1-hydroxy-2-(1H-imidazol-1-yl)ethylidene]-  
bisphosphonate; and

- (14) [1-hydroxy-2-imidazopyridin-(1,2-a)-3-ylethylidene]-bisphosphonate;
- (c) the antiestrogen or selective estrogen receptor modulator is selected from the group consisting of raloxifene, clomiphene, zuclomiphene, enclomiphene, nafoxidene, CI-680, CI-628, CN-55,945-27, Mer-25, U-11,555A, U-100A, 5 tamoxifen, lasofoxifene, toremifene, azorxifene, EM-800, EM-652, TSE 424, droloxifene, idoxifene, and levormeloxifene;
- (d) the HMG-CoA reductase inhibitor is selected from lovastatin, simvastatin, dihydroxy-open acid simvastatin, pravastatin, fluvastatin, atorvastatin, 10 cerivastatin, rosuvastatin, pitavastatin, and nisvastatin;
- (e) calcitonin is salmon calcitonin administered as a nasal spray;
- (f) bone morphogenetic protein is selected from BMP 2, BMP 3, BMP 5, BMP 6, BMP 7, TGF beta, and GDF5;
- (g) insulin-like growth factor is selected from IGF I and IGF II alone or in 15 combination with IGF binding protein 3;
- (h) the prostaglandin derivative is selected from agonists of prostaglandin receptors EP1, EP2, EP4, FP, and IP;
- (i) the fibroblast growth factor is selected from aFGF and bFGF;
- (j) parathyroid hormone (PTH) or PTH analog is selected from PTH 20 subcutaneous injection, human PTH (1-84), human PTH (1-34), and other partial sequences, native or with substitutions;
- (k) vitamin D or vitamin D derivative is selected from natural vitamin D, 25-OH-vitamin D3, 1 $\alpha$ ,25(OH)<sub>2</sub> vitamin D3, 1 $\alpha$ -OH-vitamin D3, 1 $\alpha$ -OH-vitamin D2, dihydrotachysterol, 26,27-F6-1 $\alpha$ ,25(OH)<sub>2</sub> vitamin D3, 19-nor-1 $\alpha$ ,25(OH)<sub>2</sub> 25 vitamin D3, 22-oxacalcitriol, calcipotriol, 1 $\alpha$ ,25(OH)<sub>2</sub>-16-ene-23-yne-vitamin D3 (Ro 23-7553), EB1089, 20-epi-1 $\alpha$ ,25(OH)<sub>2</sub> vitamin D3, KH1060, ED71, 1 $\alpha$ ,24(S)-(OH)<sub>2</sub> vitamin D3, and 1 $\alpha$ ,24(R)-(OH)<sub>2</sub> vitamin D3;
- (l) the dietary calcium supplement is selected from calcium carbonate, calcium citrate, and natural calcium salts; and
- (m) the fluoride salts are selected from sodium fluoride and monosodium 30 fluorophosphate (MFP);
- and pharmaceutically acceptable salts thereof.

28. The method of Claim 20 which further comprises the  
35 administration of alendronate monosodium trihydrate.

29. A composition comprising a compound according to Claim 1, or a pharmaceutically acceptable salt thereof, and a bone-strengthening agent selected from the group consisting of:

- 5 (a) an estrogen or an estrogen derivative, alone or in combination with a progestin or progestin derivative,
- (b) a bisphosphonate,
- (c) an antiestrogen or a selective estrogen receptor modulator,
- (d) an  $\alpha v \beta 3$  integrin receptor antagonist,
- (e) a cathepsin K inhibitor,
- 10 (f) an HMG-CoA reductase inhibitor,
- (g) an osteoclast vacuolar ATPase inhibitor,
- (h) an antagonist of VEGF binding to osteoclast receptors,
- (i) an activator of peroxisome proliferator-activated receptor  $\gamma$ ,
- (j) calcitonin,
- 15 (k) a calcium receptor antagonist,
- (l) parathyroid hormone or analog thereof,
- (m) a growth hormone secretagogue,
- (n) human growth hormone,
- (o) insulin-like growth factor,
- 20 (p) a P-38 protein kinase inhibitor,
- (q) bone morphogenetic protein,
- (r) an inhibitor of BMP antagonism,
- (s) a prostaglandin derivative,
- (t) vitamin D or vitamin D derivative,
- 25 (u) vitamin K or vitamin K derivative,
- (v) ipriflavone,
- (w) fluoride salts,
- (x) dietary calcium supplement, and
- (y) osteoprotegerin.

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30. The use of a compound of structural formula I for the preparation of a medicament useful for modulating the androgen receptor in a tissue selective manner in a patient in need of such modulation.

31. The use of a compound according to Claim 1, or a pharmaceutically acceptable salt thereof, for the preparation of a medicament useful for activating the function of the androgen receptor in a patient in need thereof.

5 32. The use of a compound according to Claim 1, or a pharmaceutically acceptable salt thereof, for the preparation of a medicament useful for treating a condition in a patient in need of such treatment which is caused by androgen deficiency or which can be ameliorated by androgen administration selected from the group consisting of osteoporosis, periodontal disease, bone fracture,  
10 bone damage following bone reconstructive surgery, sarcopenia, frailty, aging skin, male hypogonadism, female sexual dysfunction, post-menopausal symptoms in women, atherosclerosis, hypercholesterolemia, hyperlipidemia, obesity, aplastic anemia and other hematopoietic disorders, pancreatic cancer, renal cancer, prostate cancer, arthritis and joint repair.

15 33. The method of Claim 16 wherein the bone-strengthening agent is selected from the group consisting of:

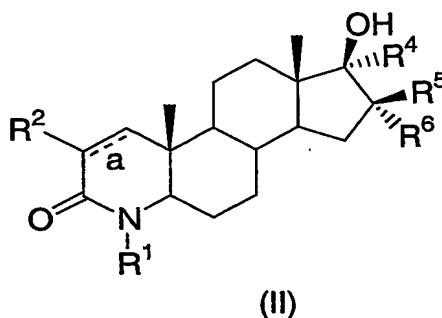
- (a) an estrogen or an estrogen derivative, alone or in combination with a progestin or progestin derivative,
- 20 (b) a bisphosphonate,
- (c) an antiestrogen or a selective estrogen receptor modulator,
- (d) an  $\alpha v \beta 3$  integrin receptor antagonist,
- (e) a cathepsin K inhibitor,
- (f) an osteoclast vacuolar ATPase inhibitor,
- 25 (g) calcitonin, and
- (h) osteoprotegerin.

34. The method of Claim 20 wherein the bone-strengthening agent is selected from the group consisting of:

- 30 (a) an estrogen or an estrogen derivative, alone or in combination with progestin or progestin derivative,
- (b) a bisphosphonate,
- (c) an antiestrogen or a selective estrogen receptor modulator,
- (d) an  $\alpha v \beta 3$  integrin receptor antagonist,
- 35 (e) a cathepsin K inhibitor,

- (f) an osteoclast vacuolar ATPase inhibitor,
- (g) calcitonin, and
- (i) osteoprotegerin.

5                    35. A compound of structural formula II:



wherein

“a” represents a single bond or a double bond;

R<sup>1</sup> is hydrogen, hydroxymethyl, or C<sub>1-3</sub> alkyl, wherein alkyl is unsubstituted or

10 substituted with one to seven fluorine atoms;

R<sup>2</sup> is hydrogen, fluorine, or C<sub>1-4</sub> alkyl when “a” represents a double bond; or two R<sup>2</sup> substituents are each independently hydrogen, fluorine, or C<sub>1-4</sub> alkyl when “a” represents a single bond;

R<sup>4</sup> is hydrogen, C<sub>1-4</sub> alkyl, C<sub>2-4</sub> alkenyl, or C<sub>2-4</sub> alkynyl;

15 one of R<sup>5</sup> and R<sup>6</sup> is hydrogen or methyl and the other is selected from the group consisting of

- (a) hydrogen,
- (b) C<sub>1-8</sub> alkyl,
- (c) C<sub>2-8</sub> alkenyl,

20 wherein alkyl and alkenyl are unsubstituted or substituted one to three groups independently selected from amino, cyano, halogen, hydroxy, oxo, carboxy, C<sub>1-4</sub> alkoxy, C<sub>1-4</sub> alkoxyC<sub>1-4</sub> alkoxy, and C<sub>1-3</sub> alkyloxycarbonyl;

- (d) fluoro,
- (e) cyano,
- (f) hydroxy,
- (g) C<sub>1-6</sub> alkoxy,
- (h) C<sub>1-6</sub> alkylcarbonyloxy,

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- (i) C<sub>1-6</sub> alkylthio,
- (j) C<sub>1-6</sub> alkylsulfonyl,
- (k) C<sub>3-8</sub> cycloalkyl C<sub>0-6</sub> alkyl,
- (l) C<sub>3-8</sub> cycloheteroalkyl C<sub>0-6</sub> alkyl,
- 5 (m) aryl C<sub>0-6</sub> alkyl,
- (n) aryl C<sub>2-4</sub> alkenyl,
- (o) amino,
- (p) C<sub>1-3</sub> acylamino,
- (q) aryl C<sub>1-3</sub> acylamino,
- 10 (r) C<sub>1-6</sub> alkylamino,
- (s) di(C<sub>1-6</sub> alkyl)amino,
- (t) aryl-C<sub>0-3</sub> alkylamino,
- (u) di(aryl-C<sub>0-3</sub> alkyl)amino,
- (v) C<sub>3-6</sub> cycloalkyl C<sub>0-2</sub> alkylamino,
- 15 (w) C<sub>1-8</sub> alkylsulfonylamino,
- (x) aryl C<sub>0-3</sub> alkylsulfonylamino,
- (y) C<sub>1-8</sub> alkyloxycarbonylamino,
- (z) aryl C<sub>0-3</sub> alkyloxycarbonylamino,
- (aa) aminocarbonylamino,
- 20 (bb) C<sub>1-8</sub> alkylaminocarbonylamino,
- (cc) aryl C<sub>0-3</sub> alkylaminocarbonylamino,
- (dd) C<sub>1-8</sub> alkylaminocarbonyloxy, and
- (ee) aryl C<sub>0-3</sub> alkylaminocarbonyloxy;

25 or R<sup>5</sup> and R<sup>6</sup> taken together with the carbon atom to which they are attached can form a C<sub>3-6</sub> spirocyclic ring system optionally containing a heteroatom selected from O, S, and NC<sub>0-4</sub> alkyl;

or R<sup>5</sup> and R<sup>6</sup> taken together can be =CR<sup>9</sup>R<sup>10</sup>, wherein R<sup>9</sup> and R<sup>10</sup> are each independently selected from the group consisting of hydrogen, C<sub>1-6</sub> alkyl, C<sub>3-6</sub> cycloalkyl C<sub>0-3</sub> alkyl, C<sub>3-6</sub> cycloheteroalkyl C<sub>0-3</sub> alkyl, and aryl C<sub>0-3</sub> alkyl; or R<sup>9</sup> and R<sup>10</sup> taken together with the carbon atom to which they are attached can form a 3-  
 30 to 6-membered ring optionally containing a heteroatom selected from O, S, NH, NC<sub>1-4</sub> alkyl, and NC<sub>1-4</sub> alkyloxycarbonyl;

wherein the aryl group in all instances above is selected from the group consisting of

- (1) phenyl,



- (2) naphthyl,
- (3) benzimidazolyl,
- (4) benzofuranyl,
- (5) benzothiophenyl,
- 5 (6) benzoxazolyl,
- (7) benzothiazolyl,
- (26) benzodihydrofuranyl,
- (27) 1,3-benzodioxolyl,
- (10) indolyl,
- 10 (11) quinolyl,
- (12) isoquinolyl,
- (13) furanyl,
- (14) thienyl,
- (15) imidazolyl,
- 15 (16) oxazolyl,
- (17) thiazolyl,
- (18) isoxazolyl,
- (19) isothiazolyl,
- (20) pyrazolyl,
- 20 (21) pyrrolyl,
- (22) pyridyl,
- (23) pyrimidyl,
- (24) pyrazinyl,
- (25) thiadiazolyl,
- 25 (26) oxadiazolyl,
- (27) triazolyl, and
- (28) tetrazolyl;

wherein the aryl group as defined in items (1) to (28) is unsubstituted or substituted with one to three groups independently selected from halogen, aryl, C<sub>1-8</sub> alkyl, C<sub>3-8</sub> cycloalkyl, C<sub>3-8</sub> cycloheteroalkyl, aryl C<sub>1-6</sub>alkyl, amino C<sub>0-6</sub>alkyl, C<sub>1-6</sub> alkylamino C<sub>0-6</sub>alkyl, (C<sub>1-6</sub> alkyl)<sub>2</sub>amino C<sub>0-6</sub>alkyl, aryl C<sub>0-6</sub> alkylamino C<sub>0-6</sub>alkyl, (aryl C<sub>0-6</sub> alkyl)<sub>2</sub>amino C<sub>0-6</sub>alkyl, C<sub>1-6</sub> alkylthio, aryl C<sub>0-6</sub>alkylthio, C<sub>1-6</sub> alkylsulfinyl, aryl C<sub>0-6</sub>alkylsulfinyl, C<sub>1-6</sub> alkylsulfonyl, aryl C<sub>0-6</sub>alkylsulfonyl, C<sub>1-6</sub> alkoxy C<sub>0-6</sub>alkyl, aryl C<sub>0-6</sub> alkoxy C<sub>0-6</sub>alkyl, hydroxycarbonyl C<sub>0-6</sub>alkyl, C<sub>1-6</sub> alkoxycarbonyl C<sub>0-6</sub>alkyl, aryl C<sub>0-6</sub> alkoxycarbonyl C<sub>0-6</sub>alkyl, hydroxycarbonyl C<sub>1-6</sub> alkyloxy, hydroxy

C0-6alkyl, cyano, nitro, perfluoroC1-4alkyl, perfluoroC1-4alkoxy, oxo, C1-6 alkylcarbonyloxy, aryl C0-6alkylcarbonyloxy, C1-6 alkylcarbonylamino, aryl C0-6 alkylcarbonylamino, C1-6 alkylsulfonylamino, aryl C0-6alkylsulfonylamino, C1-6 alkoxycarbonylamino, aryl C0-6 alkoxycarbonylamino, C1-6alkylamino-  
 5 carbonylamino, aryl C0-6alkylaminocarbonylamino, (C1-6alkyl)<sub>2</sub> aminocarbonylamino, (aryl C0-6alkyl)<sub>2</sub> aminocarbonylamino, (C1-6alkyl)<sub>2</sub> aminocarbonyloxy, and (aryl C0-6alkyl)<sub>2</sub> aminocarbonyloxy; with the provisos that  
 (a) when "a" is a single or double bond, R<sup>1</sup> is hydrogen or methyl, and R<sup>2</sup>, R<sup>5</sup> and R<sup>6</sup> are hydrogen, then R<sup>4</sup> is not hydrogen, methyl, allyl, or n-propyl; and (b) when "a" is  
 10 a single bond, R<sup>1</sup> is methyl, and R<sup>4</sup> and R<sup>6</sup> are hydrogen, then R<sup>5</sup> is not methyl, ethyl, isopropyl, or allyl.

36. The compound of Claim 35 wherein R<sup>1</sup> is hydrogen or methyl and R<sup>4</sup> is hydrogen.

15

37. The compound of Claim 35 wherein one of R<sup>5</sup> and R<sup>6</sup> is hydrogen or methyl and the other is selected from the group consisting of

- (a) hydrogen,
- (b) fluorine,
- 20 (c) C1-3 alkyl, wherein alkyl is unsubstituted or substituted one to three groups independently selected from amino, cyano, halogen, hydroxy, oxo, carboxy, C1-4 alkoxy, C1-3 alkoxy-C1-3 alkoxy, and C1-3 alkyloxycarbonyl; and
- (d) arylmethyl, wherein aryl is selected from the group consisting of phenyl, naphthyl, pyridyl, furanyl, pyrrolyl, thiazolyl, imidazolyl, benzofuranyl, and 1,3-  
 25 benzodioxolyl, wherein the aryl group is unsubstituted or substituted with one to two groups independently selected from halogen, C1-4 alkyl, C1-4 alkoxy, cyano, trifluoromethyl, and trifluoromethoxy;  
 or R<sup>5</sup> and R<sup>6</sup> taken together with the carbon atom to which they are attached can form a C3-6 spirocyclic ring system optionally containing a heteroatom selected  
 30 from O, S, NH, NC1-4 alkyl, and N1-4 alkyloxycarbonyl;  
 or R<sup>5</sup> and R<sup>6</sup> taken together can be =CR<sup>9</sup>R<sup>10</sup>, wherein R<sup>9</sup> and R<sup>10</sup> are each independently selected from the group consisting of hydrogen, C1-6 alkyl, C3-6 cycloalkyl-C0-3 alkyl, C3-6 cycloheteroalkyl-C0-3 alkyl, aryl C0-1 alkyl; or R<sup>9</sup> and

R<sup>10</sup> taken together with the carbon atom to which they are attached can form a 3- to 6-membered ring optionally containing a heteroatom selected from O, S, NH, NC<sub>1-4</sub> alkyl, and NC<sub>1-4</sub> alkyloxycarbonyl.

5                    38.    The compound of Claim 37 wherein one of R<sup>5</sup> and R<sup>6</sup> is hydrogen and the other is arylmethyl wherein aryl is as defined in Claim 37.

                    39.    The compound of Claim 38 wherein R<sup>5</sup> is hydrogen and R<sup>6</sup> is arylmethyl.

10                   40.    The compound of Claim 39 wherein R<sup>1</sup> is hydrogen or methyl and R<sup>4</sup> is hydrogen.

                    41.    The compound of Claim 40 wherein "a" represents a double  
15    bond.

                    42.    The compound of Claim 37 wherein R<sup>5</sup> and R<sup>6</sup> taken together are =CHaryl wherein aryl is as defined in Claim 37.

20                   43.    The compound of Claim 42 wherein R<sup>1</sup> is hydrogen or methyl and R<sup>4</sup> is hydrogen.

                    44.    The compound of Claim 43 wherein "a" represents a double  
                    bond.

25                   45.    The compound of Claim 35 selected from the group consisting of:

16 $\alpha$ -fluoro-17 $\beta$ -hydroxy-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
16 $\beta$ -fluoro-17 $\alpha$ -hydroxy-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
30 16 $\alpha$ -fluoro-17 $\beta$ -hydroxy-4,17 $\alpha$ -dimethyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
16 $\beta$ -fluoro-17 $\beta$ -hydroxy-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
2 $\alpha$ -fluoro-17 $\beta$ -hydroxy-4-methyl-4-aza-5 $\alpha$ -androstan-3-one;  
2 $\beta$ -fluoro-17 $\beta$ -hydroxy-4-methyl-4-aza-5 $\alpha$ -androstan-3-one;  
2,2-difluoro-17 $\beta$ -hydroxy-4-methyl-4-aza-5 $\alpha$ -androstan-3-one;

- 17 $\beta$ -hydroxy-2 $\alpha$ ,4-dimethyl-4-aza-5 $\alpha$ -androst-3-one;  
17 $\beta$ -hydroxy-16 $\alpha$ -(methoxymethyl)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16 $\alpha$ -(ethoxymethyl)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16 $\alpha$ -(2-methoxyethoxymethyl)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
5 17 $\beta$ -hydroxy-16 $\alpha$ -(ethyloxycarbonylmethyl)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16 $\alpha$ -(carboxymethyl)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16 $\alpha$ -(2-hydroxyethyl)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16 $\alpha$ -allyl-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-4,16 $\alpha$ ,17 $\alpha$ -trimethyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
10 17 $\beta$ -hydroxy-17 $\alpha$ -ethyl-4-methyl-4-aza-5 $\alpha$ -androst-3-one;  
17 $\beta$ -hydroxy-16 $\alpha$ -(2-trifluoromethylbenzyl)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16 $\alpha$ -(3-trifluoromethylbenzyl)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16 $\alpha$ -(4-trifluoromethylbenzyl)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16 $\alpha$ -(2-fluorobenzyl)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
15 17 $\beta$ -hydroxy-16 $\alpha$ -(3-fluorobenzyl)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16 $\alpha$ -(4-fluorobenzyl)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16 $\alpha$ -(3-chlorobenzyl)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16 $\alpha$ -(4-chlorobenzyl)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16 $\alpha$ -(4-trifluoromethoxybenzyl)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
20 17 $\beta$ -hydroxy-16 $\alpha$ -(3-methoxybenzyl)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16 $\alpha$ -benzyl-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16 $\alpha$ -(2-methylbenzyl)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16 $\alpha$ -(2-naphthyl)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16 $\beta$ -(2-methylbenzyl)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
25 17 $\beta$ -hydroxy-16 $\beta$ -(3-methylbenzyl)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16 $\beta$ -(4-chlorobenzyl)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16 $\beta$ -(2-chlorobenzylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16 $\beta$ -(3-fluorobenzylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16 $\beta$ -(3-trifluoromethylbenzylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-  
30 one;  
17 $\beta$ -hydroxy-16 $\beta$ -(2-trifluoromethylbenzylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-  
one;  
17 $\beta$ -hydroxy-16 $\beta$ -(3-methoxybenzylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16 $\beta$ -(3,4-methylenedioxybenzylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-  
35 one;

- 17 $\beta$ -hydroxy-16-(5-methoxy-3,4-methylenedioxybenzylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;
- 17 $\beta$ -hydroxy-16-(3,5-difluorobenzylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;
- 17 $\beta$ -hydroxy-16-(3,5-dichlorobenzylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;
- 5 17 $\beta$ -hydroxy-16-(3,4-dimethoxybenzylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;
- 17 $\beta$ -hydroxy-16-(pyridin-2-ylmethylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;
- 17 $\beta$ -hydroxy-16-(pyridin-4-ylmethylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;
- 17 $\beta$ -hydroxy-16-(cyclopropylmethylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;
- 17 $\beta$ -hydroxy-16-(furan-2-ylmethylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;
- 10 17 $\beta$ -hydroxy-16-(1-methyl-imidazol-2-ylmethylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;
- 17 $\beta$ -hydroxy-16-cyclohexylidene-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;
- 17 $\beta$ -hydroxy-16-ethylidene-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;
- 17 $\beta$ -hydroxy-16-isopropylidene-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;
- 15 17 $\beta$ -hydroxy-16-isobutylidene-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;
- 17 $\beta$ -hydroxy-16-(piperidin-4-ylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;
- 17 $\beta$ -hydroxy-16-(N-t-butyloxycarbonylpiperidin-4-ylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;
- 17 $\beta$ -hydroxy-16-(1,3-thiazol-2-ylmethylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;
- 20 one;
- 17 $\beta$ -hydroxy-16-(benzofuran-2-ylmethylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;
- 17 $\beta$ -hydroxy-16-(5-hydroxymethyl-furan-2-ylmethylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;
- 25 17 $\beta$ -hydroxy-16-(1-methyl-pyrrol-2-ylmethylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;
- 17 $\beta$ -hydroxy-16-(pyrrol-2-ylmethylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;
- 17 $\beta$ -hydroxy-16-(2-ethoxy-pyrimidin-5-ylmethylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;
- 30 17 $\beta$ -hydroxy-16-(pyrimidin-5-ylmethylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;
- 17 $\beta$ -hydroxy-16-(2-methyl-pyrimidin-5-ylmethylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;
- 17 $\beta$ -hydroxy-16-(3-trifluoromethoxybenzylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;

- 17 $\beta$ -hydroxy-16-(4-trifluoromethoxybenzylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16-(4-dimethylaminobenzylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
5 17 $\beta$ -hydroxy-16-(9-methoxy-quinolin-2-ylmethylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16-(quinoxalin-6-ylmethylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16-(quinoxalin-7-ylmethylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16-(2-hydroxybenzylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
10 17 $\beta$ -hydroxy-16-(3-hydroxybenzylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16-(4-hydroxybenzylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16-(pyridin-3-ylmethylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16-(N-methylpiperidin-4-ylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
15 17 $\beta$ -hydroxy-16-(2-chloro-4-dimethylaminobenzylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16-(2-amino-pyridin-3-ylmethylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16-(3-carboxymethyl-benzylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
20 17 $\beta$ -hydroxy-16-(3-carboxybenzylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16-(3-nitrobenzylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
17 $\beta$ -hydroxy-16-(4-nitrobenzylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one; and  
17 $\beta$ -hydroxy-16-(benzylidene)-4-methyl-4-aza-5 $\alpha$ -androst-1-en-3-one;  
25 or a pharmaceutically acceptable salt thereof.

46. A composition comprising a compound of Claim 35 and a pharmaceutically acceptable carrier.